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(FILE 'HOME' ENTERED AT 13:07:11 ON 26 FEB 2003)

FILE 'CA' ENTERED AT 13:14:05 ON 26 FEB 2003

E PCT/JP00/00275/AP,PRN 25

E WO0043357/PN 25

E WO200043357/PN 25

L1 1 S E3

FILE 'REGISTRY' ENTERED AT 13:19:41 ON 26 FEB 2003

L2 1 162536-40-5

L3 1 98737-29-2

L4 1 98760-08-8

L5 1 165727-45-7

L6 239318 S CARBAMIC ACID

L7 167786 S 2-FLUORO

L8 97529 S 3-FLUORO

L9 908431 S PHENYLMETHYL

L10 796671 S DIMETHYLETHYL

L11 42 S L6 AND L8 AND L9 AND L10

L12 765812 S 2-HYDROXY

L13 14 S L12 AND L11

FILE 'CA' ENTERED AT 13:34:03 ON 26 FEB 2003

S 162536-40-5/REG#

FILE 'REGISTRY' ENTERED AT 13:34:15 ON 26 FEB 2003

L14 1 S 162536-40-5/RN

FILE 'CA' ENTERED AT 13:34:15 ON 26 FEB 2003

L15 13 S L14

S 105608-80-8/REG#

FILE 'REGISTRY' ENTERED AT 13:34:30 ON 26 FEB 2003

L16 1 S 105608-80-8/RN

FILE 'CA' ENTERED AT 13:34:30 ON 26 FEB 2003

L17 1 S L16

L18 14 S L15 OR L17

FILE 'USPATFULL' ENTERED AT 13:37:37 ON 26 FEB 2003

L19 0 S 105608-80-8/RN

L20 8 S 162536-40-5/RN

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=> d bib ab ind 1-14

L18 ANSWER 1 OF 14 CA COPYRIGHT 2003 ACS  
AN 137:311196 CA  
TI Production method of beta-amino-alpha-hydroxycarboxylic acids  
IN Otake, Yasuyuki; Onishi, Tomoyuki; Oka, Sachiko; Takahashi, Daisuke  
PA Ajinomoto Co., Inc., Japan  
SO U.S. Pat. Appl. Publ., 11 pp.  
CODEN: USXXCO

DT Patent  
LA English  
FAN.CNT 1

NPT

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002151722	A1	20021017	US 2002-118958	20020410
	JP 2002371044	A2	20021226	JP 2001-146783	20010516
	GB 2376232	A1	20021211	GB 2002-8388	20020411
PRAI	JP 2001-113050	A	20010411		
	JP 2001-146783	A	20010516		

OS MARPAT 137:311196

AB A prodn. method of an enantiopure .beta.-amino-.alpha.-hydroxycarboxylic acids I [A = an (un)substituted alkyl, aryl, or aralkyl, each optionally having heteroatom(s) in the carbon skeleton, and \* shows an asym. carbon atom], which includes treating of an N-carbamate protected p-aminoepoxides II (R1 = a tert-Bu group or a benzyl group) with an acid to give an oxazolidin-2-one derivs. III (R2 = CH2OH), oxidizing those intermediates in the presence of 2,2,6,6-tetramethyl-1-piperidinyloxy (TEMPO) and hypochlorite to give oxazolidin-2-one derivs. III (R2 = CO2H), following by treating with a base, is developed. The industrial prodn. method of the present invention can produce optically active .beta.-amino-.alpha.-hydroxycarboxylic acids efficiently. Thus, to (2S,3S)-3-tert-butoxycarbonylamino-1-chloro-2-hydroxy-4-phenylbutane obtained from (3S)-3-tert-butoxycarbonylamino-1-chloro-4-phenylbutanone were added 2-propanol, aq. sodium hydroxide, and citric acid. After the completion of the reaction to the obtained crystals of (2S,3S)-3-tert-butoxycarbonylamino-1,2-epoxy-4-phenylbutane were added acetonitrile and aq. citric acid, followed by addn. of sodium hypochlorite in the presence of TEMPO and then by addn. of potassium hydroxide soln. After work out (2R,3S)-3-amino-2-hydroxy-4-phenylbutyric acid was obtained as crystals (2.41 g, yield 75%).

IC ICM C07D263-38

NCL 548229000

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 28

ST aminohydroxycarboxylic beta enantiopure optically active prodn; carbamate protected aminoepoxide oxidn

IT Amino acids, preparation

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(hydroxy; prodn. of enantiopure .beta.-aminohydroxycarboxylic acids via oxidn. of prepd. protected .beta.-amino epoxides)

IT Oxidation

(prodn. of enantiopure .beta.-aminohydroxycarboxylic acids via oxidn. of prepd. protected .beta.-amino epoxides)

IT Epoxides

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prodn. of enantiopure .beta.-aminohydroxycarboxylic acids via oxidn. of prepd. protected .beta.-amino epoxides)

IT Amino acids, preparation

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(.beta.-; prodn. of enantiopure .beta.-aminohydroxycarboxylic acids via oxidn. of prepd. protected .beta.-amino epoxides)

IT 157668-56-9P 289911-81-5P  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prodn. of enantiopure .beta.-aminohydroxycarboxylic acids via oxidn. of prepd. protected .beta.-amino epoxides)

IT 62023-63-6P 105181-72-4P 116661-86-0P 147976-16-7P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (prodn. of enantiopure .beta.-aminohydroxycarboxylic acids via oxidn. of prepd. protected .beta.-amino epoxides)

IT 102123-74-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prodn. of enantiopure .beta.-aminohydroxycarboxylic acids via oxidn. of prepd. protected .beta.-amino epoxides)

IT 98737-29-2P 156474-22-5P 162536-40-5P 165727-45-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prodn. of enantiopure .beta.-aminohydroxycarboxylic acids via oxidn. of prepd. protected .beta.-amino epoxides)

IT 77-92-9, Citric acid, reactions 2564-83-2, 2,2,6,6-Tetramethyl-1-piperidinyloxy  
 RL: RGT (Reagent); RACT (Reactant or reagent)  
 (prodn. of enantiopure .beta.-aminohydroxycarboxylic acids via oxidn. of prepd. protected .beta.-amino epoxides)

L18 ANSWER 2 OF 14 CA COPYRIGHT 2003 ACS  
 AN 137:63072 CA  
 TI Process for producing optically active halohydrin compound by asymmetric hydrogen transfer reduction of .alpha.-halo ketones  
 IN Torii, Takayoshi; Hamada, Takayuki; Onishi, Tomoyuki; Izawa, Kunisuke; Ikariya, Takao; Noyori, Ryoji  
 PA Ajinomoto Co., Inc., Japan  
 SO PCT Int. Appl., 52 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051781	A1	20020704	WO 2001-JP11105	20011218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2000-391776	A	20001225		
JP 2001-237041	A	20010803		
JP 2001-314619	A	20011012		

OS CASREACT 137:63072; MARPAT 137:63072

AB Disclosed is a process for producing an optically active halohydrin compd. of formula  $YC^*H(OH)CH_2X$  [I; \* represent an asym. carbon atom; X = halo; Y = arom. hydrocarbonyl, unsatd. hydrocarbonyl,  $CRaRbY1$  (wherein Ra, Rb = H, optionally substituted C1-10 alkyl, C6-15 aryl or C7-20 aralkyl optionally contg. a heteroatom in the skeleton; Y1 = optionally protected  $NH_2$  or hydroxy)], characterized by subjecting an .alpha.-haloketone compd. of formula  $YCOCH_2X$  (X, Y = same as above) to asym. hydrogen transfer redn. in the presence of a Group 9 transition metal compd. having an optionally substituted cyclopentadienyl group and of an optically active diamine compd. of formula (S,S)- or (R,R)- $R_2C^*H(NHSO_2R_1)(CH_2)_kC^*H(NH_2)R_3$  [ $R_1 =$

alkyl, fluoroalkyl, (un)substituted Ph; R2, R3 = (un)substituted Ph or C1-10 alkyl or R2 and R3 are combined together to form a ring; \* represents an asym. carbon atom; k = an integer of 0-3]. The asym. hydrogen transfer redn. is preferably conducted in the presence of a base. Treatment of optically active halohydrin compd. I with base for cyclization gives optically active epoxides (II; Y = same as above). Thus, a soln. of 15.5 mg di-.mu.-chlorodichlorobis(pentamethylcyclopentadienyl)dirhodium(III) and 36.6 mg (1R,2R)-N-(p-toluenesulfonyl)-1,2-diphenylethylenediamine in 25.0 mL isopropanol was stirred at 80.degree. for 20 min and cooled to room temp., followed by adding 2.5 mL 0.1 M potassium tert-butoxide (0.25 mmol) and 77.3 mg 2-chloroacetophenone in 22.5 mL isopropanol, and the resulting mixt. was stirred at room temp. for 14 h to give 93.6% (S)-(+)-2-chloro-1-phenylethanol (III) (97.5% ee). A soln. of 156.6 mg III in 2.0 mL CH2Cl2 and 1.0 mL 2.0 M aq. NaOH were mixed and stirred at room temp. for 4 h to give 95.9% (S)-styrene oxide (97.5% ee).

- IC ICM C07C033-46
- ICS C07C029-143; C07C043-178; C07C049-747; C07C211-52; C07C209-78;  
C07C045-64; C07C041-26; C07C023-08; C07C311-17; C07C303-40;  
C07C271-16; C07C269-06; C07C233-73; C07C231-12; C07D307-42;  
C07D317-54; C07D301-26; C07D303-04; C07M007-00
- CC 25-16 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 27, 28
- ST epoxide optically active prepn; optically active halohydrin prepn;  
halohydrin cyclization base treatment; transition metal diamine complex  
hydrogen transfer redn catalyst; asym hydrogen transfer redn haloketone;  
chloroacetophenone asym hydrogen transfer redn
- IT Transition metal complexes  
RL: CAT (Catalyst use); USES (Uses)  
(amine; prepn. of optically active halohydrin compds. and epoxides by  
asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9  
transition metal-optically active diamine complex catalysts and base)
- IT Amines, uses  
RL: CAT (Catalyst use); USES (Uses)  
(diamines, chiral; prepn. of optically active halohydrin compds. and  
epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using  
Group 9 transition metal-optically active diamine complex catalysts and  
base)
- IT Ketones, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(halo, .alpha.-; prepn. of optically active halohydrin compds. and  
epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using  
Group 9 transition metal-optically active diamine complex catalysts and  
base)
- IT Asymmetric synthesis and induction  
Cyclization  
Hydrogen transfer catalysts  
(prepn. of optically active halohydrin compds. and epoxides by asym.  
hydrogen transfer redn. of .alpha.-halo ketones using Group 9  
transition metal-optically active diamine complex catalysts and base)
- IT Epoxides  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of optically active halohydrin compds. and epoxides by asym.  
hydrogen transfer redn. of .alpha.-halo ketones using Group 9  
transition metal-optically active diamine complex catalysts and base)
- IT Hydrogen transfer  
Reduction  
Reduction catalysts  
(stereoselective; prepn. of optically active halohydrin compds. and  
epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using  
Group 9 transition metal-optically active diamine complex catalysts and  
base)
- IT Amines, uses  
RL: CAT (Catalyst use); USES (Uses)

(transition metal complexes; prepn. of optically active halohydrin compds. and epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9 transition metal-optically active diamine complex catalysts and base)

- IT Halohydrins  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(.alpha.-; prepn. of optically active halohydrin compds. and epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9 transition metal-optically active diamine complex catalysts and base)
- IT 439807-34-8P  
RL: BYP (Byproduct); PREP (Preparation)  
(prepn. of optically active halohydrin compds. and epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9 transition metal-optically active diamine complex catalysts and base)
- IT 12354-85-7 52462-29-0, Tetrachlorobis(p-cymene)diruthenium  
126456-43-7, (1S,2R)-cis-1-Amino-2-indanol 144222-34-4,  
(1R,2R)-N-(p-Toluenesulfonyl)-1,2-diphenylethylenediamine 174291-96-4  
192139-92-7 219944-99-7 223247-64-1 223392-99-2  
RL: CAT (Catalyst use); USES (Uses)  
(prepn. of optically active halohydrin compds. and epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9 transition metal-optically active diamine complex catalysts and base)
- IT 64-18-6, Formic acid, reactions 67-63-0, Isopropanol, reactions  
RL: NUU (Other use, unclassified); RGT (Reagent); RACT (Reactant or reagent); USES (Uses)  
(prepn. of optically active halohydrin compds. and epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9 transition metal-optically active diamine complex catalysts and base)
- IT 402-71-1 532-27-4, 2-Chloroacetophenone 635-84-7, 2-Chloro-4'-phenylacetophenone 937-20-2 2196-99-8, 2-Chloro-4'-methoxyacetophenone 21886-54-4, 2-Chloro-3'-methylacetophenone 21886-56-6 26049-94-5,  
(3S)-3-Benzoyloxycarbonylamino-1-chloro-4-phenyl-2-butanone 52467-54-6,  
(3S)-3-Benzoyloxycarbonylamino-1-chloro-5-methyl-2-hexanone 53688-19-0,  
2-Chloro-2'-methoxyacetophenone 55984-17-3, 2-(Chloroacetyl)furan 62932-90-5 64488-52-4 82772-51-8, 2-Chloro-3'-methoxyacetophenone 83070-15-9 90656-99-8, (3S)-3-Benzoylamino-1-chloro-4-phenyl-2-butanone 102123-74-0, (3S)-3-(tert-Butoxycarbonylamino)-1-chloro-4-phenyl-2-butanone 313216-50-1, (S)-(+)-2-Chloro-1-(3,4-methylenedioxyphenyl)ethanol 400771-48-4, (3S)-3-Benzoyloxycarbonylamino-1-chloro-4-(p-fluorophenyl)-2-butanone 439807-16-6, trans-4-(Benzo[d][1,3]dioxol-5-yl)-1-chloro-3-buten-2-one 439807-18-8 439807-20-2 439807-26-8, (3S)-3-Benzoyloxycarbonylamino-1-chloro-4-(1-naphthyl)-2-butanone 439807-27-9, (2R,3S)-3-Benzoyloxycarbonylamino-1-chloro-2-hydroxy-4-(1-naphthyl)butane 439807-28-0, (2S,3S)-3-Benzoyloxycarbonylamino-1-chloro-2-hydroxy-4-(1-naphthyl)butane 439807-31-5, (3S)-3-tert-Butoxycarbonyl-1-chloro-5-methyl-2-hexanone  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of optically active halohydrin compds. and epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9 transition metal-optically active diamine complex catalysts and base)
- IT 70111-05-6P, (S)-(+)-2-Chloro-1-phenylethanol  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of optically active halohydrin compds. and epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9 transition metal-optically active diamine complex catalysts and base)
- IT 121-44-8, Triethylamine, reactions 865-47-4  
RL: RGT (Reagent); RACT (Reactant or reagent)  
(prepn. of optically active halohydrin compds. and epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9 transition metal-optically active diamine complex catalysts and base)
- IT 20780-54-5P, (S)-Styrene oxide 56751-12-3P, (R)-(-)-2-Chloro-1-phenylethanol 64015-63-0P, 2-Chloro-1-(2-methoxyphenyl)ethanol 128018-43-9P, (2S,3S)-3-(Benzoyloxycarbonylamino)-1-chloro-2-hydroxy-4-

phenylbutane 159141-66-9P, (2S,3S)-3-Benzylloxycarbonylamino-1-chloro-2-hydroxy-5-methylhexane 159141-75-0P, (2R,3S)-3-Benzylloxycarbonylamino-1-chloro-2-hydroxy-5-methylhexane 162536-40-5P, (2R,3S)-3-(tert-Butoxycarbonylamino)-1-chloro-2-hydroxy-4-phenylbutane 165727-45-7P, (2S,3S)-3-(tert-Butoxycarbonylamino)-1-chloro-2-hydroxy-4-phenylbutane 174699-78-6P, (S)-(+)-2-Chloro-1-(3-chlorophenyl)ethanol 178460-78-1P, (S)-(+)-2-Chloro-1-(4-chlorophenyl)ethanol 183255-96-1P, (2R,3S)-3-(Benzylloxycarbonylamino)-1-chloro-2-hydroxy-4-phenylbutane 186345-06-2P, (S)-(+)-2-Chloro-1-(4-methoxyphenyl)ethanol 187831-22-7P, (S)-(+)-2-Chloro-1-[4-((methanesulfonyl)amino)phenyl]ethanol 439807-11-1P, (S)-(+)-2-Chloro-1-(3-methylphenyl)ethanol 439807-12-2P, (S)-(+)-2-Chloro-1-(3-methoxyphenyl)ethanol 439807-13-3P, (S)-(+)-2-Chloro-1-(4-phenylphenyl)ethanol 439807-14-4P, (S)-2-Chloro-1-(2-furyl)ethanol 439807-15-5P, (S)-(+)-2-Chloro-1-(3-hydroxyphenyl)ethanol 439807-17-7P, (S)-trans-4-(Benzo[d][1,3]dioxol-5-yl)-1-chloro-3-buten-2-ol 439807-19-9P, (S)-(+)-2-Chloro-1-(3-dimethylaminophenyl)ethanol 439807-21-3P, (S)-(+)-2-Chloro-1-(3-trifluoromethylphenyl)ethanol 439807-22-4P 439807-23-5P 439807-24-6P, (2R,3S)-3-Benzoylamino-1-chloro-2-hydroxy-4-phenylbutane 439807-25-7P, (2S,3S)-3-Benzoylamino-1-chloro-2-hydroxy-4-phenylbutane 439807-29-1P, (2R,3S)-3-Benzylloxycarbonylamino-1-chloro-2-hydroxy-4-(p-fluorophenyl)butane 439807-30-4P, (2S,3S)-3-Benzylloxycarbonylamino-1-chloro-2-hydroxy-4-(p-fluorophenyl)butane 439807-32-6P, (2R,3S)-3-tert-Butoxycarbonyl-1-chloro-2-hydroxy-5-methylhexane 439807-33-7P, (2S,3S)-3-tert-Butoxycarbonyl-1-chloro-2-hydroxy-5-methylhexane

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of optically active halohydrin compds. and epoxides by asym. hydrogen transfer redn. of .alpha.-halo ketones using Group 9 transition metal-optically active diamine complex catalysts and base)  
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 14 CA COPYRIGHT 2003 ACS

AN 137:33201 CA

TI Method for the production of crystalline (2R,3S)- and (2S,3R)-3-tert-butoxycarbonylamino-1,2-epoxy-4-phenylbutane using water and a polar solvent.

IN Suzuki, Yuichi; Hirose, Naoko; Onishi, Tomoyuki; Izawa, Kunisuke

PA Ajinomoto Co., Inc., Japan

SO Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1215209	A1	20020619	EP 2001-310368	20011212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002087014	A1	20020704	US 2001-11304	20011211
JP 2002322166	A2	20021108	JP 2001-377418	20011211
PRAI JP 2000-377804	A	20001212		
JP 2001-51108	A	20010226		

OS CASREACT 137:33201

AB Title process comprises adding H<sub>2</sub>O to a soln. of (2R,3S)- or (2S,3R)-3-tert-butoxycarbonylamino-1,2-epoxy-4-phenylbutane in a polar solvent to allow crystn. Thus, (2R,3S)-3-tert-butoxycarbonylamino-1-chloro-2-hydroxy-4-phenylbutane in 2-propanol/H<sub>2</sub>O at 4.degree. was treated with aq. NaOH under stirring for 60 min. to give a soln. of (2R,3S)-epoxide. This was neutralized with aq. citric acid followed by addn. of H<sub>2</sub>O and a seed crystal followed by stirring at 4.degree. for 1 h under addn. of addnl. H<sub>2</sub>O to give 98.4% (2R,3S)-epoxide.

IC ICM C07D301-26

NPA

ICS C07D301-32; C07D301-36  
 CC 27-2 (Heterocyclic Compounds (One Hetero Atom))  
 ST butoxycarbonylaminoepoxyphenylbutane crystn water polar solvent;  
 aminoepoxyphenylbutane butoxycarbonyl crystn water polar solvent  
 IT Crystallization  
 (method for prodn. of cryst. 3-tert-butoxycarbonylamino-1,2-epoxy-4-  
 phenylbutane using water and a polar solvent)  
 IT 98760-08-8P  
 RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN  
 (Synthetic preparation); PREP (Preparation)  
 (method for prodn. of cryst. 3-tert-butoxycarbonylamino-1,2-epoxy-4-  
 phenylbutane using water and a polar solvent)  
 IT 156474-22-5P  
 RL: PUR (Purification or recovery); PREP (Preparation)  
 (method for prodn. of cryst. 3-tert-butoxycarbonylamino-1,2-epoxy-4-  
 phenylbutane using water and a polar solvent)  
 IT 162536-40-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (method for prodn. of cryst. 3-tert-butoxycarbonylamino-1,2-epoxy-4-  
 phenylbutane using water and a polar solvent)  
 IT 64-17-5, Ethanol, reactions 67-56-1, Methanol, reactions 67-63-0,  
 2-Propanol, reactions 71-23-8, 1-Propanol, reactions 7732-18-5, Water,  
 reactions  
 RL: RGT (Reagent); RACT (Reactant or reagent)  
 (method for prodn. of cryst. 3-tert-butoxycarbonylamino-1,2-epoxy-4-  
 phenylbutane using water and a polar solvent)  
 RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 14 CA COPYRIGHT 2003 ACS  
 AN 137:6079 CA  
 TI Processes for preparation of N-protected-.beta.-amino alcohols and  
 N-protected-.beta.-amino epoxides  
 IN Hirose, Naoko; Onishi, Tomoyuki; Hideura, Daigaku; Otake, Yasuyuki; Izawa,  
 Kunisuke  
 PA Ajinomoto Co., Inc., Japan  
 SO PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044136	A1	20020606	WO 2001-JP10476	20011130
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
PRAI JP 2000-365536	A	20001130		
JP 2001-51109	A	20010226		
JP 2001-72364	A	20010314		
AB This document discloses a process for purifying N-protected-.beta.-amino alcs. (such as (2R,3S)- or (2S,3R)-3-tert-butoxycarbonylamino-1-halo-2- hydroxy-4-phenylbutane) either by adding water to a soln. of such an alc. in a polar org. solvent to crystallize the alc. or by crystg. such an alc. from a diol or a diol-based mixed solvent; and a process of subjecting an N-protected-.beta.-amino alc. thus purified to treatment with a base to obtain the corresponding N-protected-.beta.-amino epoxide. N-Protected-.beta.-amino alcs. and N-protected-.beta.-amino epoxides are useful as intermediates in the synthesis of HIV protease inhibitors and other drugs.				
ICM C07C271-16				
ICS C07C269-08				
CC 27-2 (Heterocyclic Compounds (One Hetero Atom)) Section cross-reference(s): 1				

ST purifn amino alc HIV protease inhibitor intermediate; epoxidn  
butoxycarbonylaminoalohydroxyphenylbutane; butoxycarbonylaminoepoxyphenyl  
butane prepn HIV protease inhibitor intermediate

IT Alcohols, preparation  
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN  
(Synthetic preparation); PREP (Preparation)  
(N-protected-.beta.-amino alcs.; processes for prepn. of  
N-protected-.beta.-amino alcs. and N-protected-.beta.-amino epoxides as  
intermediates for HIV protease inhibitors)

IT Epoxides  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(N-protected-.beta.-amino epoxides; processes for prepn. of  
N-protected-.beta.-amino alcs. and N-protected-.beta.-amino epoxides as  
intermediates for HIV protease inhibitors)

IT Epoxidation  
(epoxidn. of butoxycarbonylaminoalohydroxyphenylbutane)

IT Bases, reactions  
RL: RGT (Reagent); RACT (Reactant or reagent)  
(epoxidn. of butoxycarbonylaminoalohydroxyphenylbutane in presence of  
base)

IT Crystallization  
Purification  
(purifn. of N-protected-.beta.-amino alcs.)

IT Resolution (separation)  
(resoln. of butoxycarbonylaminochlorohydroxyphenylbutane)

IT 162536-40-5P  
RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT  
(Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(processes for prepn. of N-protected-.beta.-amino alcs. and  
N-protected-.beta.-amino epoxides)

IT 433282-64-5P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic  
preparation); PREP (Preparation); RACT (Reactant or reagent)  
(processes for prepn. of N-protected-.beta.-amino alcs. and  
N-protected-.beta.-amino epoxides)

IT 98760-08-8P 156474-22-5P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(processes for prepn. of N-protected-.beta.-amino alcs. and  
N-protected-.beta.-amino epoxides)

IT 112739-73-8P  
RL: PEP (Physical, engineering or chemical process); PYP (Physical  
process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)  
(processes for prepn. of N-protected-.beta.-amino alcs. and  
N-protected-.beta.-amino epoxides)

IT 102123-74-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(processes for prepn. of N-protected-.beta.-amino alcs. and  
N-protected-.beta.-amino epoxides)

IT 144114-21-6, HIV protease  
RL: MSC (Miscellaneous)  
(processes for prepn. of N-protected-.beta.-amino alcs. and  
N-protected-.beta.-amino epoxides as intermediates for HIV protease  
inhibitors)

IT 67-56-1, Methanol, uses 67-63-0, 2-Propanol, uses 107-21-1, Ethylene  
glycol, uses 110-63-4, 1,4-Butanediol, uses 504-63-2, 1,3-Propanediol  
7732-18-5, Water, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(solvent; processes for prepn. of N-protected-.beta.-amino alcs. and  
N-protected-.beta.-amino epoxides)

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L18 ANSWER 5 OF 14 CA COPYRIGHT 2003 ACS  
 AN 134:207610 CA  
 TI Preparation of diastereomeric epoxy(ar)alkyl carbamates  
 IN Onishi, Tomoyuki; Hirose, Naoko; Otake, Yasuyuki; Nakano, Takashi; Honda, Yutaka; Nakazawa, Masakazu; Izawa, Kunisuke  
 PA Ajinomoto Co., Inc., Japan  
 SO Eur. Pat. Appl., 27 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1081133	A1	20010307	EP 2000-307521	20000831
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002080470	A2	20020319	JP 2000-262475	20000831
	US 2002072621	A1	20020613	US 2001-973191	20011010
PRAI	JP 1999-245645	A	19990831		
	JP 2000-35074	A	20000214		
	JP 2000-82895	A	20000323		
	JP 2000-199234	A	20000630		
	US 2000-652679	B1	20000831		
OS	CASREACT 134:207610; MARPAT 134:207610				
AB	Title compds. were prepd. by stereoselective redn. of corresponding halooxo(ar)alkyl carbamate enantiomers followed by purifn. of the diastereomeric alc. and cyclization.				
IC	ICM C07C269-06 ICS C07C269-08; C07D301-26				
CC	25-18 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)				
ST	epoxyaralkyl carbamate diastereomeric prepn; halooxoaralkyl carbamate stereoselective redn				
IT	165727-45-7P RL: BYP (Byproduct); PREP (Preparation) (prepn. of diastereomeric epoxy(ar)alkyl carbamates)				
IT	162536-40-5P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of diastereomeric epoxy(ar)alkyl carbamates)				
IT	98760-08-8P 157668-56-9P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (prepn. of diastereomeric epoxy(ar)alkyl carbamates)				
IT	102123-74-0 RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of diastereomeric epoxy(ar)alkyl carbamates)				
RE.CNT	10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L18 ANSWER 6 OF 14 CA COPYRIGHT 2003 ACS  
 AN 133:335458 CA  
 TI Preparation of S,S and R,S amino acid isosteres  
 IN Malik, Aslam A.; Clement, Todd E.; Palandoken, Hasan; Robinson, James, III; Stringer, Joy A.  
 PA Aerojet Fine Chemicals LLC, USA  
 SO Eur. Pat. Appl., 42 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1050532	A2	20001108	EP 2000-109083	20000503

EP 1050532 A3 20011219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

PRAI US 1999-132278P P 19990503

US 1999-321645 A 19990528

OS CASREACT 133:335458; MARPAT 133:335458

AB The present invention provides compds. and methods that can be used to convert intermediate halomethyl ketones (HMKs), e.g., chloromethyl ketones, to the corresponding S,S- and R,S-diastereomers. Redn. and inversion methods and methods involving the epoxidn. of alkenes are discussed. Thus, redn. of N-(tert-butoxycarbonyl)-L-phenylalanine chloromethyl ketone with lithium tri-tert-butoxyaluminum hydride afforded 97% chloromethyl alc. (CMA) in 6.5:1 R,S:S,S ratio. R,S-CMA was converted into R,S-epoxide by contacting with an KOH in aq. THF-EtOH.

IC ICM C07D303-36

ICS C07D303-26; C07D263-20; C07C213-00

CC 34-2 (Amino Acids, Peptides, and Proteins)

ST phenylalanine chloromethyl alc prepn reaction; epoxide deriv phenylalanine prepn

IT Stereoisomers

(prepn. of S,S and R,S amino acid isosteres)

IT 107202-43-7P

RL: BYP (Byproduct); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of S,S and R,S amino acid isosteres)

IT 98760-08-8P 304004-54-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of S,S and R,S amino acid isosteres)

IT 162536-40-5P 165727-45-7P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of S,S and R,S amino acid isosteres)

IT 60398-41-6 102123-74-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of S,S and R,S amino acid isosteres)

IT 68709-71-7P 136630-87-0P 200616-29-1P 304004-53-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of S,S and R,S amino acid isosteres)

L18 ANSWER 7 OF 14 CA COPYRIGHT 2003 ACS

AN 133:135215 CA

TI Processes for the preparation of threo-1,2-epoxy-3-amino-4-phenylbutane derivatives

IN Maehara, Katsuji; Tokuda, Yukinori; Murao, Hiroshi; Ueda, Yasuyoshi

PA Kaneka Corporation, Japan

SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

PI WO 2000044736 A1 20000803 WO 2000-JP495 20000131

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2325919 AA 20000803 CA 2000-2325919 20000131  
 EP 1067125 A1 20010110 EP 2000-901998 20000131  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI

US 6344572 B1 20020205 US 2000-647340 20001117  
 PRAI JP 1999-21640 A 19990129  
 JP 1999-239720 A 19990826  
 WO 2000-JP495 W 20000131

OS CASREACT 133:135215; MARPAT 133:135215

AB Claimed is a process for prepg. high-quality (2S,3R)- or  
 (2R,3S)-threo-1,2-epoxy-3-amino-4-phenylbutane derivs. (I; P =  
 urethane-type protective group for amino group) easily and efficiently at  
 extremely high productivity on a com. scale by treating a  
 threo-1-halo-2-hydroxy-3-amino-4-phenylbutane deriv. represented by  
 formula PNHCH(CH<sub>2</sub>Ph)CH(OH)CH<sub>2</sub>X (P = same as above; X = halo) with a base  
 for cyclization in a polar org. solvent or a mixed solvent consisting of a  
 polar org. solvent and water and then adding the obtained reaction fluid  
 to water to crystallize a threo-1,2-epoxy-3-amino-4-phenylbutane deriv. I.  
 These compds. are useful as intermediates for HIV protease inhibitors.  
 Thus, H<sub>2</sub>O 250 g, (2R,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-  
 4-phenylbutane 202 g contg. 2 g (3S)-1-chloro-2-oxo-3-N-(tert-  
 butoxycarbonyl)amino-4-phenylbutane, and acetone 400 g were successively  
 added to a 2 L flask, followed by adding dropwise 30 wt.% aq. NaOH 133 g  
 at 25.degree. over 2 h with stirring, and the resulting mixt. was stirred  
 for 1 h. The bottom water phase was sepd., the upper acetone phase and 50  
 wt.% acetone was passed through a filter to give an acetone soln. contg.  
 (2R,3S)-1,2-epoxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane (II) 600 g  
 (100% yield) which was added dropwise at 5.degree. over 4 h to H<sub>2</sub>O 2,300 g  
 contg. II seed crystals. After stirring the resulting mixt. for 1 h,  
 pptd. crystals were filtered off, washed twice with cooled 10 wt.% aq.  
 acetone and once with H<sub>2</sub>O 500 g at 25.degree., and vacuum-dried to give  
 93% II (99.8% purity).

IC ICM C07D301-26

ICS C07D301-32; C07D303-36

CC 27-2 (Heterocyclic Compounds (One Hetero Atom))

ST epoxyaminophenylbutane prepn intermediate HIV protease inhibitor;  
 halohydroxyaminophenylbutane cyclization

IT Alcohols, uses

RL: NUU (Other use, unclassified); USES (Uses)

(C1-4; prepn. of threo-epoxyaminophenylbutane derivs. by cyclization of  
 threo-halohydroxyaminophenylbutane derivs.)

IT Solvents

(org., polar; prepn. of threo-epoxyaminophenylbutane derivs. by  
 cyclization of threo-halohydroxyaminophenylbutane derivs.)

IT Cyclization

(prepn. of threo-epoxyaminophenylbutane derivs. by cyclization of  
 threo-halohydroxyaminophenylbutane derivs.)

IT 102123-74-0P

RL: BYP (Byproduct); PREP (Preparation)

(prepn. of threo-epoxyaminophenylbutane derivs. by cyclization of  
 threo-halohydroxyaminophenylbutane derivs.)

IT 98760-08-8P

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic  
 preparation); PREP (Preparation)

(prepn. of threo-epoxyaminophenylbutane derivs. by cyclization of  
 threo-halohydroxyaminophenylbutane derivs.)

IT 67-56-1, Methanol, uses 67-64-1, Acetone, uses 7732-18-5, Water, uses

RL: NUU (Other use, unclassified); USES (Uses)

(prepn. of threo-epoxyaminophenylbutane derivs. by cyclization of  
 threo-halohydroxyaminophenylbutane derivs.)

IT 162536-40-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of threo-epoxyaminophenylbutane derivs. by cyclization of  
 threo-halohydroxyaminophenylbutane derivs.)

RE.CNT 11      THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 14 CA COPYRIGHT 2003 ACS  
AN 133:120154 CA  
TI Method for purifying and isolating (2S,3S)- or (2R,3S)-halohydrin  
derivatives by crystallization  
IN Maehara, Katsuji; Kawano, Shigeru; Yamaguchi, Makoto; Ueda, Yasuyoshi  
PA Kaneka Corporation, Japan  
SO PCT Int. Appl., 28 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

PI      PATENT NO.      KIND      DATE      APPLICATION NO.      DATE  
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WO 2000043357      A1      20000727      WO 2000-JP275      20000121  
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,  
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,  
IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,  
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
EP 1151992      A1      20011107      EP 2000-900855      20000121  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO  
PRAI JP 1999-13033      A      19990121  
WO 2000-JP275      W      20000121  
OS CASREACT 133:120154; MARPAT 133:120154  
AB To efficiently isolate (2S,3S)-1-halo-2-hydroxy-3-N-(tert-  
butoxycarbonyl)amino-4-phenylbutanes (I; X = halo) or (2R,3S)-1-halo-2-  
hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes (II; X = halo) with  
excellent qualities, impurities are eliminated from a mixt. contg. the  
above compds. I and/or the above compds. II by crystg. the target compds.  
I or II in the presence of a solvent comprising a hydrocarbon solvent and  
then collecting the crystals. Thus, a soln. of (2S,3S)-1-chloro-2-hydroxy-  
3-N-(tert-butoxycarbonyl)amino-4-phenylbutane (III) in PhMe/EtOAc (3:1  
vol. ratio) (101.7 g) was concd. to liq. quantity of 42.0 g at  
30-40.degree. under reduced pressure (.apprx.100 mmHg) in N atm. with  
vigorous stirring and then underwent solvent exchange by distn. of the  
solvent at 5-50 mmHg and simultaneous addn. of toluene for the Et acetate  
content to reach at 3 wt.%. The resulting mixt. was brought to normal  
pressure under N atm. and vigorously stirred at 50.degree. for 1 h, slowly  
cooled to 5.degree., and kept at 5.degree. for 1 h. The pptd. crystals  
were suction-filtered, washed with 15 mL PhMe, and dried in vacuo at  
20-40.degree. for .apprx.4 h to give 97% III (99.7 wt.% purity).  
IC ICM C07C269-08  
ICS C07C271-16; C12P013-02; C12P013-02; C12R001-72; C12P013-02;  
C12R001-84; C12P013-02; C12R001-645; C07M007-00  
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
ST halohydroxybutoxycarbonylaminophenylbutane purifn isolation; crystn  
halohydroxybutoxycarbonylaminophenylbutane  
IT Crystallization  
(fractional; purifying and isolating (2S,3S)- or (2R,3S)-1-halo-2-  
hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by  
fractional crystn.)  
IT Candida  
Pichia  
Rhodotorula  
(microbial stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-  
butyl)amino)-4-phenylbutane to (2R,3S)- or (2S,3S)-1-chloro-2-hydroxy-3-

- N-(tert-butoxycarbonyl)amino-4-phenylbutane)
- IT Citeromyces  
Cryptococcus (fungus)  
Debaryomyces  
Debaryomyces robertsiae  
Lipomyces  
Ogataea  
Rhodosporidium  
Saccharomycopsis  
Williopsis  
Wingea  
(microbial stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-butyl)amino)-4-phenylbutane to (2R,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane)
- IT Botryoascus  
Geotrichum  
Metschnikowia  
Pachysolen (fungus)  
Trichosporon  
(microbial stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-butyl)amino)-4-phenylbutane to (2S,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane)
- IT Aromatic hydrocarbons, uses  
Hydrocarbons, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)
- IT 162536-40-5P  
RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)  
(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)
- IT 98737-29-2P 98760-08-8P  
RL: BYP (Byproduct); REM (Removal or disposal); PREP (Preparation); PROC (Process)  
(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)
- IT 165727-45-7P  
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)  
(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)
- IT 102123-74-0  
RL: RCT (Reactant); REM (Removal or disposal); PROC (Process); RACT (Reactant or reagent)  
(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)
- IT 71-43-2, Benzene, uses 100-41-4, Ethylbenzene, uses 108-87-2, Methylcyclohexane 108-88-3, Toluene, uses 109-66-0, Pentane, uses 110-54-3, Hexane, uses 142-82-5, Heptane, uses 1330-20-7, Xylene, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(solvent; purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)
- IT 1191-15-7, Diisobutylaluminum hydride  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-butyl)amino)-4-phenylbutane to (2R,3S)- or (2S,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane)
- IT 13762-51-1, Potassium borohydride 16853-85-3, Lithium aluminum hydride 16883-45-7, Tetramethylammonium borohydride 16940-66-2, Sodium borohydride 22722-98-1, Sodium bis(2-methoxyethoxy)aluminum hydride  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-butyl)amino)-4-

phenylbutane to (2S,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 14 CA COPYRIGHT 2003 ACS  
AN 127:290362 CA  
TI Preparation of chiral synthon for HIV protease inhibitor: stereoselective microbial reduction of N-protected .alpha.-aminochloroketone  
AU Patel, Ramesh N.; Banerjee, Amit; McNamee, Clyde G.; Brzozowski, David B.; Szarka, Laszlo J.  
CS Department of Microbial Technology, Bristol-Myers Squibb Pharmaceutical Research Institute, New Brunswick, NJ, 08903, USA  
SO Tetrahedron: Asymmetry (1997), 8(15), 2547-2552  
CODEN: TASYE3; ISSN: 0957-4166  
PB Elsevier  
DT Journal  
LA English  
AB The chiral intermediate (1S,2R)[3-chloro-2-hydroxy-1-(phenylmethyl)propyl] carbamic acid 1,1-dimethylethyl ester (I) was prepd. for the total synthesis of an HIV protease inhibitor, BMS-186318. The stereoselective redn. of (1S)[3-chloro-2-oxo-1-(phenylmethyl)propyl] carbamic acid 1,1-dimethyl-Et ester (II) was carried out using microbial cultures, among which Streptomyces nodosus SC 13149 efficiently reduced II to I. A reaction yield of 80% was obtained. The optical purity of 99.8% and the diastereomeric purity of 99% were obtained for chiral alc. 2a.  
CC 10-2 (Microbial, Algal, and Fungal Biochemistry)  
ST aminochloroketone stereoselective redn Streptomyces  
IT Streptomyces nodosus  
(stereoselective microbial redn. of N-protected .alpha.-aminochloroketone in the prepn. of chiral synthon for HIV protease inhibitor)  
IT Reduction  
(stereoselective; stereoselective microbial redn. of N-protected .alpha.-aminochloroketone in the prepn. of chiral synthon for HIV protease inhibitor)  
IT **162536-40-5P**  
RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)  
(stereoselective microbial redn. of N-protected .alpha.-aminochloroketone in the prepn. of chiral synthon for HIV protease inhibitor)  
IT 102123-74-0  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(stereoselective microbial redn. of N-protected .alpha.-aminochloroketone in the prepn. of chiral synthon for HIV protease inhibitor)

L18 ANSWER 10 OF 14 CA COPYRIGHT 2003 ACS  
AN 127:176019 CA  
TI Process for the reduction of carbonyl compounds  
IN Sugawa, Tadashi; Moroshima, Tadashi; Inoue, Kenji; Kan, Kazunori  
PA Kaneka Corp., Japan; Sugawa, Tadashi; Moroshima, Tadashi; Inoue, Kenji; Kan, Kazunori  
SO PCT Int. Appl., 61 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9728105	A1	19970807	WO 1997-JP189	19970129
	W: CA, CN, HU, JP, KR, SG, US				

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE  
 CA 2216537 AA 19970807 CA 1997-2216537 19970129  
 EP 827943 A1 19980311 EP 1997-901761 19970129  
 R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, IE  
 CN 1178517 A 19980408 CN 1997-190044 19970129  
 US 6150567 A 20001121 US 1997-930011 19971229

PRAI JP 1996-35632 A 19960129  
 JP 1996-37256 A 19960130  
 JP 1996-110317 A 19960404  
 WO 1997-JP189 W 19970129

OS CASREACT 127:176019; MARPAT 127:176019

AB A process for reducing carbonyl compds. into hydroxyl compds. easily under milder conditions, particularly a process for reducing an .alpha.-aminohaloketone deriv. stereoselectively, is described. The above process comprises treating a carbonyl compd. of general formula R1COR2 [R1, R2 = (un)substituted C1-30 alkyl, C7-30 aralkyl, or C6-30 aryl, cyano, haloalkyl, alkoxycarbonyl, aralkyloxycarbonyl, (un)substituted CONH2, alkylthiocarbonyl; provided that at least one of R1 and R2 = (un)substituted C1-30 alkyl, C7-30 aralkyl, or C6-30 aryl] with an organoaluminum compd. of general formula R3R4AlOR5 [R3, R4 = (un)substituted C1-10 alkyl, C7-20 aralkyl, or C6-20 aryl; R5 = C1-20 n- or sec-alkyl, or C7-30 n- or sec-alkyl] to form an alc. of general formula R1CHR2OH (R1, R2 = same as above). This process in particular reduces an .alpha.-aminohaloketone deriv. under mild conditions with very high stereoselectivity to an aminohalohydrin deriv. which is useful as an intermediate for a drug. Thus, 0.76 mL isopropanol was added to 10.5 mL 1 M triisobutylaluminum/hexane under ice-cooling, stirred at room temp. for 30 min, and dild. with 10 mL toluene, followed by adding 0.759 g tert-Bu [1(S)-benzyl-2-oxo-3-chloropropyl]carbamate, and the resulting mixt. was stirred at room temp. for 2 h to give a 97.4:2.6 mixt. of tert-Bu [1(S)-benzyl-2(S)-hydroxy-3-chloropropyl]carbamate (I) and (1S,2R)-isomer (0.840 g).

IC ICM C07B041-02  
 ICS C07C029-143; C07C033-22; C07C213-00; C07C215-28; C07C271-10;  
 C07C323-43; C07M007-00

CC 21-2 (General Organic Chemistry)  
 Section cross-reference(s): 25

ST stereoselective redn carbonyl compd; aminohaloketone stereoselective redn aminohalohydrin; organoaluminum compd reducing agent

IT Ketones, reactions  
 Ketones, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (amino; stereoselective redn. of haloaminoketones to haloaminoalcs. with organoaluminum compds.)

IT Alcohols, preparation

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (amino; stereoselective redn. of haloaminoketones to haloaminoalcs. with organoaluminum compds.)

IT Amines, reactions

Amines, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (keto; stereoselective redn. of haloaminoketones to haloaminoalcs. with organoaluminum compds.)

IT Carbonyl compounds (organic), reactions

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (stereoselective redn. of carbonyl compds. to alcs. with organoaluminum compds.)

IT Reduction

(stereoselective; stereoselective redn. of carbonyl compds. with organoaluminum compds.)

IT 67-63-0, 2-Propanol, reactions 67-64-1, 2-Propanone, reactions  
 91-01-0, Benzhydrol 98-86-2, Acetophenone, reactions 100-52-7,  
 Benzaldehyde, reactions 100-99-2, Triisobutylaluminum, reactions  
 108-93-0, Cyclohexanol, reactions 532-27-4, Phenacyl chloride

555-31-7, Aluminum triisopropoxide 1191-15-7, Diisobutylaluminum hydride  
1586-92-1, Diethylaluminum ethoxide 5419-55-6, Triisopropoxyborane  
102123-74-0 148692-40-4 159878-01-0 176972-61-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(stereoselective redn. of carbonyl compds. to alcs. with organoaluminum compds.)

IT 165727-45-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective redn. of carbonyl compds. to alcs. with organoaluminum compds.)

IT 98-85-1P, .alpha.-Phenethyl alcohol 100-51-6P, Benzyl alcohol, preparation 1674-30-2P, 1-Phenyl-2-chloroethanol 98737-29-2P

116565-03-8P 116565-10-7P 159878-02-1P **162536-40-5P**

176972-62-6P 177186-74-2P 194086-27-6P 194086-28-7P 194086-29-8P

194086-30-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(stereoselective redn. of carbonyl compds. to alcs. with organoaluminum compds.)

L18 ANSWER 11 OF 14 CA COPYRIGHT 2003 ACS

AN 125:329473 CA

TI Preparation of aminediol-containing peptide analogs as retroviral protease inhibitors

IN Gordon, Eric M.; Barrish, Joel C.; Bisacchi, Gregory S.; Sun, Chong-qing; Tino, Joseph A.; Vite, Gregory D.; Zahler, Robert

PA E. R. Squibb & Sons, Inc., USA

SO U.S., 219 pp., Cont.-in-part of U.S. Ser. No. 927,027, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5559256	A	19960924	US 1993-79978	19930625
	AU 9341659	A1	19940127	AU 1993-41659	19930630
	AU 677194	B2	19970417		
	HU 67090	A2	19950130	HU 1993-2080	19930719
	CA 2100894	AA	19940121	CA 1993-2100894	19930720
	NO 9302620	A	19940121	NO 1993-2620	19930720
	EP 580402	A2	19940126	EP 1993-305691	19930720
	EP 580402	A3	19970305		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

ZA 9305243 A 19940217 ZA 1993-5243 19930720

CN 1085546 A 19940420 CN 1993-108954 19930720

JP 06206857 A2 19940726 JP 1993-201016 19930720

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US 5776933 A 19980707 US 1995-456125 19950531

PRAI US 1992-916916 19920720

US 1992-927027 19920806

US 1993-79978 19930625

OS MARPAT 125:329473

AB Aa-E-NR8CHR9H(OH)CH2NHCH2CH(OH)CHR9NR8-E-Ab [Aa, Ab = H, alkyl, R3C(:Z), R3SO2, R3R4NSO2, R3R4NC(:Z), R3SC(:O), R5R6R7COC(:Z); E = a single bond or a peptide chain contg. 1 to 4 amino acids, the N-terminus of which is bonded to Aa or Ab; R3, R4 = H, alkyl, aryl, carbocyclyl; R5, R6, R7 = H, alkyl, aryl, carbocyclyl, fluorenyl, alkynyl, alkenyl; R5, R6, and R7 may, independently, be joined together with the carbon atom to which they are bonded, to form a mono-, bi- or tricyclic carbocyclic ring system; R8 = H, alkyl; R9 = arylalkyl; Z = O, S; wherein: wherever they appear alone or as part of another group, unless otherwise indicated, the terms "alk." or "alkyl" denote a straight or branched chain satd. radical contg. 1 to 12 carbons in the normal chain, optionally substituted by one or more groups selected from (un)protected OH, oxo (with the proviso that the carbon



bearing the oxo group is not adjacent to a heteroatom), CO<sub>2</sub>H, halo, alkoxy, aryloxy, alkoxycarbonyl, etc.] or salts thereof, which inhibit retroviral protease and are particularly useful in the treatment and/or prevention of HIV infection (AIDS), are prepd. Thus, bis(3-amino-2-hydroxy-4-phenylbutyl)amine deriv. (I; R = H) was condensed with L-tert-leucine deriv. (HO-Q) using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBT in DMF/CH<sub>2</sub>CH<sub>2</sub> at 0.degree. to room temp. to give the title compd. I (R = Q). The latter compd. at 10 .mu.M in vitro inhibited 99% HIV protease and showed IC<sub>50</sub> of 0.012 .mu.M which was the concn. of drug that increased the formazan prodn. in CEM-SS cells infected with the RF strain of HIV to 50% of that produced by uninfected cells in the absence of drug.

IC ICM C07D401-12  
 NCL 552303000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1  
 ST aminediol contg peptide analog prepn; retroviral protease inhibitor; HIV infection AIDS treatment  
 IT Acquired immune deficiency syndrome  
 Virucides and Virustats  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of aminediol-contg. peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))  
 IT Peptides, preparation  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (analog, prepn. of aminediol-contg. peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))  
 IT Virus, animal  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (human immunodeficiency, prepn. of aminediol-contg. peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))  
 IT 272-01-5P, Furo[2,3-b]pyridine 609-71-2P 2508-01-2P 3356-88-5P  
 3694-86-8P 7423-92-9P 13031-76-0P 15833-82-6P 15833-84-8P  
 22455-69-2P 27038-48-8P, Furo[2,3-b]pyridin-3(2H)-one 41036-01-5P  
 52532-02-2P 62030-47-1P 83096-36-0P 97024-23-2P 100841-12-1P  
 109274-92-2P, Furo[2,3-b]pyridine-2-carboxaldehyde 116005-23-3P  
 144731-95-3P 161302-38-1P 161302-39-2P 161302-40-5P 162537-26-0P  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminediol-contg. peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT	162540-55-8P	162540-56-9P	162540-57-0P	162540-58-1P	162540-59-2P
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	162540-87-6P	162540-88-7P	162540-89-8P	162540-90-1P	162540-91-2P
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183255-94-9P 183256-02-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminediol-contg. peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT 144114-21-6, Retropepsin

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(prepn. of aminediol-contg. peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT 50-00-0, Formaldehyde, reactions 52-52-8, 1-Aminocyclopentanecarboxylic acid 56-12-2, 4-Aminobutyric acid, reactions 64-18-6, Formic acid, reactions 68-12-2, Dimethylformamide, reactions 70-25-7 72-18-4, L-Valine, reactions 74-88-4, Methyl iodide, reactions 74-89-5, Methylamine, reactions 75-16-1, Methylmagnesium bromide 75-36-5, Acetyl chloride 75-44-5, Phosgene 75-66-1, tert-Butyl mercaptan 75-98-9, Trimethylacetic acid 76-83-5, Triphenylmethyl chloride 77-76-9, 2,2-Dimethoxypropane 79-14-1, reactions 79-22-1, Methyl chloroformate 79-44-7, Dimethylcarbonyl chloride 79-50-5, DL-Pantolactone 83-33-0, 1-Indanone 91-62-3, 6-Methylquinoline 93-10-7, Quinaldic acid 95-54-5, o-Phenylenediamine, reactions 95-55-6, o-Aminophenol 96-49-1, Ethylene carbonate 98-59-9, Tosyl chloride 98-80-6, Phenylboronic acid 98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 100-44-7, Benzyl chloride, reactions 100-46-9, Benzylamine, reactions 100-52-7, Benzaldehyde, reactions 100-86-7, .alpha.,.alpha.-Dimethylphenethyl alcohol 103-74-2, 2-(2-Hydroxyethyl)pyridine 105-36-2, Ethyl bromoacetate 108-23-6, Isopropyl chloroformate 108-24-7, Acetic anhydride 109-00-2, 3-Hydroxypyridine 109-86-4, 2-Methoxyethanol 110-06-5, tert-Butyl disulfide 110-15-6, Butanedioic acid, reactions 110-91-8, Morpholine, reactions 111-42-2, reactions 119-67-5, 2-Carboxybenzaldehyde 122-59-8, Phenoxyacetic acid 122-98-5, 2-Anilinoethanol 122-99-6, 2-Phenoxyethanol 137-07-5, 2-Aminoethiophenol 288-32-4, Imidazole, reactions 335-08-0, 1,1,1-Trifluoroacetone cyanohydrin 353-80-0 358-23-6, Triflic anhydride 453-20-3, 3-Hydroxytetrahydrofuran 473-85-8, 1,4-Anhydro-D-threitol 500-22-1, 3-Pyridinecarboxaldehyde 501-53-1, Benzyl chloroformate 503-38-8, Trichloromethyl chloroformate 534-03-2, 2-Amino-1,3-propanediol 539-74-2, Ethyl 3-bromopropionate 540-51-2, 2-Bromoethanol 541-47-9, 3,3-Dimethylacrylic acid 558-30-5, Isobutylene oxide 586-98-1, 2-Pyridylcarbinol 591-80-0, 4-Pentenoic acid 593-56-6, Methoxyamine hydrochloride 594-56-9, 2,3,3-Trimethylbutene 598-21-0, Bromoacetyl bromide 611-71-2 617-35-6, Ethyl pyruvate 617-94-7, Dimethylphenyl carbinol 622-08-2, 2-Benzyloxyethanol 622-40-2, 4-(2-Hydroxyethyl)morpholine 623-08-5, N-Methyl-p-toluidine 624-83-9, Methyl isocyanate 625-38-7, 3-Butenoic acid 627-18-9 628-41-1, 1,4-Cyclohexadiene 630-19-3, Pivalaldehyde 644-36-0, o-Tolylacetic acid 670-95-1, 4-Phenylimidazole 672-15-1, L-Homo-serine 677-22-5, tert-Butylmagnesium chloride 687-47-8, (S)-Ethyl lactate 693-89-0, 1-Methylcyclopentene 759-24-0, Diethyl tert-butylmalonate 775-06-4, DL-Meta-tyrosine 821-09-0, 4-Penten-1-ol 917-54-4, Methyl lithium 937-14-4, m-Chloroperbenzoic acid 1003-04-9 1070-83-3, tert-Butylacetic acid 1120-87-2, 4-Bromopyridine 1122-62-9, 2-Acetylpyridine 1142-20-7 1145-80-8 1148-11-4 1149-26-4 1161-13-3 1193-47-1, 2,2-Dimethylcyclohexanone 1462-03-9, 1-Methyl-1-cyclopentanol 1609-86-5, tert-Butyl isocyanate 1664-54-6, 3-Amino-3-phenylpropionic acid 1779-49-3, Methyltriphenylphosphonium bromide 1826-67-1, Vinylmagnesium bromide 2018-66-8 2130-96-3 2212-75-1 2370-61-8 2976-75-2, (1-Naphthoxy)acetic acid 2987-16-8, 3,3-Dimethylbutyraldehyde 3160-59-6 3173-56-6, Benzyl isocyanate 3240-94-6, 4-(2-Chloroethyl)morpholine 3262-72-4 3587-60-8, Benzyl chloromethyl ether 3731-51-9, 2-(Aminomethyl)pyridine 4436-24-2, Benzyloxirane 4530-20-5 4541-32-6, 2,2-Dimethylcyclopentanone 4857-04-9, 2-(Chloromethyl)benzimidazole 5034-06-0, Trimethylsulfoxonium

chloride 5333-74-4, Ethyl tert-butylglyoxylate 5470-11-1,  
 Hydroxylamine hydrochloride 6278-91-7, 4-Benzoyloxy-2-butanone  
 6290-49-9, Methyl methoxyacetate 6306-52-1, L-Valine methyl ester  
 hydrochloride 6351-10-6, 1-Indanol 6829-40-9, Diethyl aminomalonate  
 7326-19-4, D-Phenyllactic acid 7364-25-2, Indazolinone 7432-21-5  
 7486-35-3, Vinyltributyltin 7536-55-2 7677-24-9, Trimethylsilyl  
 cyanide 7693-46-1, p-Nitrophenyl chloroformate 10147-11-2,  
 3-Phenyl-1-propyne 13031-04-4 13139-15-6 13139-16-7 13139-17-8,  
 N-Benzoyloxycarbonyloxy succinimide 13329-18-5, 5-Benzoyloxy-2-pentanone  
 13570-08-6, 2-Benzimidazoleacetic acid 13575-16-1, Ethyl  
 5-Phenylloxazole-2-carboxylate 13734-34-4 13734-41-3 14347-78-5,  
 (R)-2,2-Dimethyl-1,3-dioxolane-4-methanol 14397-64-9,  
 1-Ethoxycarbonyl-2-indanone 15761-39-4 16520-62-0, 4-Phenyl-1-butyne  
 16677-29-5 17199-29-0 17392-83-5, (R)-Methyl lactate 17463-43-3,  
 DL-3,3,3-Trifluoroalanine 18162-48-6, tert-Butyldimethylsilyl chloride  
 18942-49-9 19575-07-6, Methyl quinaldate 19728-63-3, Z-Thr-OH  
 19752-84-2, 3-Hydroxytetrahydropyran 19810-31-2, Benzoyloxyacetyl  
 chloride 20117-47-9, 1-Methyl-1-cyclobutanol 20160-60-5,  
 2-Trimethylsilylethyl chloroformate 20412-38-8, Neopentyl chloroformate  
 20662-89-9, 4-Phenylloxazole 20859-02-3, L-tert-Leucine 21641-92-9  
 22146-57-2 22323-82-6, (S)-2,2-Dimethyl-1,3-dioxolane-4-methanol  
 24424-99-5, Di-tert-butyl dicarbonate 26628-22-8, Sodium azide  
 26782-71-8, D-tert-Leucine 28920-43-6, 9-Fluorenylmethyl chloroformate  
 28954-12-3, L-Allothreonine 29943-42-8, Tetrahydro-4H-pyran-4-one  
 30525-89-4, Paraformaldehyde 32366-02-2, N-Benzyl-N-methyl carbamoyl  
 chloride 36024-28-9 37595-74-7, N-Phenyltriflimide 37736-82-6,  
 N-tert-Butoxycarbonyl-L-cyclohexylalanine 40299-87-4,  
 4-(Bromoacetyl)morpholine 41242-94-8, 2-Hydroxymethyl quinoxaline  
 52373-72-5 53333-76-9, 2,2-Dimethyl-1-propanesulfonyl chloride  
 58632-95-4, Boc-ON 59562-82-2 60456-21-5 67478-50-6 68835-89-2,  
 Di-tert-amyl dicarbonate 69739-34-0, tert-Butyldimethylsilyl triflate  
 76513-69-4, 2-(Trimethylsilyl)ethoxymethyl chloride 78879-20-6  
 80360-23-2 85613-64-5 86087-23-2, (S)-(+)-3-Hydroxytetrahydrofuran  
 106167-47-9 107202-43-7 112372-06-2, Furo[2,3-c]pyridine-2-  
 carboxaldehyde 127862-89-9 162537-72-6, Furo[2,3-c]pyridine-2-methanol  
 162537-73-7 162541-63-1 162678-30-0 162870-63-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of aminediol-contg. peptide analogs as retroviral protease  
 inhibitors for treatment of HIV infection (AIDS))

IT 95-13-6P, 1H-Indene 102-14-7P 111-32-0P 272-62-8P,  
 Furo[3,2-b]pyridine 334-88-3P, Diazomethane 374-35-6P 558-43-0P  
 587-33-7P 815-17-8P 1184-93-6P 1191-31-7P 1615-14-1P,  
 1H-Imidazole-1-ethanol 1780-17-2P, 2-Quinolinemethanol 1796-25-4P  
 2215-63-6P 2258-42-6P, Acetic formic anhydride 2280-28-6P 2644-82-8P  
 2842-44-6P 2849-93-6P, 1H-Benzimidazole-2-carboxylic acid 3587-64-2P  
 3724-55-8P 4026-20-4P 4113-04-6P, 6-Quinolinecarboxaldehyde  
 4441-30-9P, 4-Morpholinepropanol 4647-42-1P 4647-43-2P 4754-27-2P  
 4856-97-7P, 1H-Benzimidazole-2-methanol 5105-78-2P 5367-24-8P  
 6970-72-5P 7467-35-8P 7525-64-6P 7748-36-9P, 3-Oxetanol  
 13737-35-4P 14477-66-8P 14598-96-0P 15546-08-4P 17450-34-9P  
 18096-68-9P, 1H-Indene-2-methanol 19458-29-8P 19539-50-5P,  
 Furo[2,3-c]pyridine 20120-24-5P 20361-09-5P 22892-29-1P  
 22929-52-8P 23249-97-0P, 1H-Benzimidazole-2-propanoic acid 24580-44-7P  
 24621-70-3P, 1H-Indole-2-methanol 25854-85-7P 25854-87-9P  
 30293-86-8P 31562-43-3P 33905-47-4P 34637-40-6P 35677-88-4P  
 37535-57-2P 37859-42-0P, 2-Benzothiazolemethanol 39497-64-8P  
 40594-83-0P 42417-65-2P 50411-26-2P 50531-59-4P 51110-97-5P,  
 2-Benzoxazolepropanol 53346-03-5P 56365-70-9P 57443-39-7P  
 59524-02-6P 60398-41-6P 60651-97-0P 62565-28-0P 62965-10-0P  
 64360-69-6P 66866-64-6P 67706-63-2P 70448-03-2P 73282-11-8P  
 77186-95-9P, 2-Benzoxazolemethanol 80466-51-9P 85328-36-5P  
 85951-09-3P 85995-53-5P 86096-65-3P 86562-71-2P 88246-12-2P  
 89464-59-5P 90819-30-0P 91968-72-8P 94882-74-3P 98737-29-2P  
 98760-08-8P 98955-64-7P 98997-01-4P 100516-88-9P,

6-Quinolinemethanol	100868-72-2P	102123-74-0P	102123-85-3P	
102152-03-4P	102229-10-7P	102831-44-7P	104948-22-3P	106513-42-2P
108957-20-6P	112372-05-1P,	Furo[3,2-b]pyridine-2-carboxaldehyde		
113247-51-1P	113459-50-0P	114645-18-0P	115916-75-1P	127041-02-5P
127382-65-4P	128018-44-0P	131424-20-9P	134807-06-0P	134807-20-8P
134807-28-6P	134807-29-7P	134807-30-0P	137515-66-3P	138432-95-8P
141978-97-4P	143372-45-6P	143372-46-7P	143372-47-8P	143576-95-8P
143688-65-7P	144186-00-5P	144186-52-7P	144825-44-5P	149357-61-9P
153291-20-4P	154117-17-6P	154612-75-6P	156474-21-4P	156474-22-5P
159259-43-5P	160232-54-2P	162125-34-0P	<b>162536-40-5P</b>	
162536-41-6P	162536-42-7P	162536-43-8P	162536-44-9P	162536-45-0P
162536-46-1P	162536-47-2P	162536-48-3P	162536-50-7P	162536-54-1P
162536-55-2P	162536-56-3P	162536-57-4P	162536-58-5P	162536-59-6P
162536-60-9P	162536-63-2P	162536-64-3P	162536-65-4P	162536-67-6P
162536-68-7P	162536-69-8P	162536-70-1P	162536-71-2P	162536-72-3P
162536-73-4P	162536-74-5P	162536-77-8P	162536-78-9P	162536-79-0P
162536-80-3P	162536-81-4P	162536-82-5P	162536-83-6P	162536-84-7P
162536-85-8P	162536-86-9P	162536-87-0P	162536-88-1P	162536-89-2P
162536-90-5P	162536-91-6P	162536-92-7P	162536-93-8P	162536-94-9P
162536-95-0P	162536-96-1P	162536-97-2P	162536-98-3P	162536-99-4P
162537-00-0P	162537-01-1P	162537-03-3P	162537-10-2P	162537-11-3P
162537-12-4P	162537-13-5P	162537-14-6P	162537-15-7P	162537-16-8P
162537-17-9P	162537-20-4P	162537-21-5P	162537-22-6P	162537-27-1P
162537-31-7P	162537-32-8P	162537-33-9P	162537-34-0P	162537-35-1P
162537-36-2P	162537-39-5P	162537-40-8P	162537-41-9P	162537-42-0P
162537-43-1P	162537-44-2P	162537-45-3P	162537-46-4P	162537-47-5P
162537-48-6P	162537-49-7P	162537-50-0P	162537-51-1P	162537-53-3P
162537-54-4P	162537-55-5P	162537-56-6P	162537-61-3P,	
Furo[3,2-b]pyridine-2-methanol	162537-62-4P	162537-63-5P		
162537-64-6P	162537-65-7P	162537-66-8P	162537-67-9P	162537-68-0P
162537-69-1P	162537-70-4P			

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of aminediol-contg. peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

IT	162537-74-8P	162538-06-9P	162538-07-0P	162538-09-2P	162538-16-1P
	162538-17-2P	162538-30-9P	162538-32-1P	162538-34-3P	162538-36-5P
	162538-41-2P	162538-43-4P	162538-44-5P	162538-46-7P	162538-49-0P
	162538-56-9P	162538-68-3P	162538-77-4P	162538-82-1P	162538-86-5P
	162538-98-9P	162538-99-0P	162539-00-6P	162539-01-7P	162539-04-0P
	162539-06-2P	162539-08-4P	162539-11-9P	162539-12-0P	162539-14-2P
	162539-16-4P	162539-24-4P	162539-26-6P	162539-28-8P	162539-30-2P
	162539-31-3P	162539-40-4P	162539-42-6P	162539-51-7P	162539-52-8P
	162539-53-9P	162539-55-1P	162539-56-2P	162539-77-7P	162539-78-8P
	162539-79-9P	162540-62-7P	162541-27-7P	162541-28-8P	162541-29-9P
	162541-30-2P	162541-31-3P	162541-32-4P	162541-33-5P	162541-34-6P
	162541-35-7P	162541-38-0P	162541-39-1P	162541-40-4P	162541-41-5P
	162541-42-6P	162541-43-7P	162541-44-8P	162541-45-9P	162541-46-0P
	162541-48-2P	162541-49-3P	162541-50-6P	162541-52-8P	162541-53-9P
	162541-54-0P	162541-55-1P	162541-57-3P	162541-58-4P	162541-60-8P
	162541-61-9P	162541-62-0P	162541-64-2P	162541-65-3P	162541-66-4P
	162541-67-5P	162541-68-6P	162541-69-7P	162541-70-0P	162541-71-1P
	162541-72-2P	162541-73-3P	162541-74-4P	162541-75-5P	162541-76-6P
	162541-77-7P	162541-78-8P	162541-79-9P	162541-80-2P	162541-81-3P
	162541-82-4P	162541-84-6P	162541-85-7P	162541-86-8P	162541-87-9P
	162541-88-0P	162541-89-1P	162541-92-6P	162541-94-8P	162541-95-9P
	162541-96-0P	162677-20-5P	162677-23-8P	162677-31-8P	162677-41-0P
	162677-43-2P	162677-46-5P	162677-47-6P	162677-49-8P	162677-51-2P
	162677-53-4P	162677-55-6P	162677-57-8P	162677-91-0P	162678-21-9P
	162678-22-0P	162678-26-4P	162678-29-7P	162678-36-6P	162678-37-7P
	162776-41-2P	165524-61-8P	165727-45-7P	170996-45-9P	171230-81-2P
	175390-83-7P	183161-49-1P	183161-50-4P	183161-56-0P	183161-57-1P
	183161-58-2P	183161-59-3P	183161-60-6P	183161-61-7P	183161-62-8P
	183161-63-9P	183161-64-0P	183161-65-1P	183161-67-3P	183161-68-4P

183161-69-5P	183161-71-9P	183161-72-0P	183161-73-1P	183161-74-2P
183161-75-3P	183161-76-4P	183161-77-5P	183161-78-6P	183161-81-1P
183161-82-2P	183161-83-3P	183161-84-4P	183161-85-5P	183161-86-6P
183161-87-7P	183161-89-9P	183161-90-2P	183161-91-3P	183161-92-4P
183161-93-5P	183161-94-6P	183161-95-7P	183161-96-8P	183161-97-9P
183161-98-0P	183161-99-1P	183162-00-7P	183162-01-8P	183162-02-9P
183162-03-0P	183162-04-1P	183162-05-2P	183162-06-3P	183162-07-4P
183162-08-5P	183162-09-6P	183162-10-9P	183162-11-0P	183162-12-1P
183162-13-2P	183162-14-3P	183162-15-4P	183162-16-5P	183162-17-6P
183162-18-7P	183162-19-8P	183162-20-1P	183162-21-2P	183162-22-3P
183162-23-4P	183162-24-5P	183162-25-6P	183162-26-7P	183162-27-8P
183162-28-9P	183162-29-0P	183162-30-3P	183162-32-5P	183162-33-6P
183162-34-7P	183162-35-8P	183162-36-9P	183162-37-0P	183255-95-0P
183255-96-1P	183255-97-2P	183255-98-3P	183255-99-4P	183256-00-0P
183256-01-1P	183256-04-4P	183256-05-5P	183256-06-6P	183256-07-7P
183256-08-8P				

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of aminediol-contg. peptide analogs as retroviral protease inhibitors for treatment of HIV infection (AIDS))

L18 ANSWER 12 OF 14 CA COPYRIGHT 2003 ACS  
AN 124:290277 CA  
TI HIV protease inhibitor combinations.  
IN Barrish, Joel C.; Colonno, Richard J.; Lin, Pin-Fang M.  
PA Bristol-Myers Squibb Co., USA  
SO Eur. Pat. Appl., 29 pp.  
CODEN: EPXXDW

DT Patent  
LA English

FAN.CNT 3

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 691345	A2	19960110	EP 1995-304718	19950705
	EP 691345	A3	19960228		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	US 1649 7 <sub>9</sub>	H1	19970506	US 1995-436868	19950517
	AU 9524800	A1	19960118	AU 1995-24800	19950704
PRAI	US 1994-270614		19940705		
	US 1995-436868		19950517		
	US 1987-79978		19870731		
AB	A product comprising HIV-1 protease inhibitor (I) (BMS-186318) and .gtoreq.1 of RO 31-8959, SC-52151, A-77003, A-80987, ABT-538, L-735,524, and AG-1343 is claimed. The combinations may eliminate or substantially reduce viral cross-resistance seen with use of individual HIV-1 protease inhibitors. A synthesis of I via coupling of epoxide (II) with aminoalc. (III) is given.				
IC	ICM C07K005-02				
	ICS C07K005-06				
CC	34-3 (Amino Acids, Peptides, and Proteins)				
	Section cross-reference(s): 63				
ST	hiv protease inhibitor combination				
IT	Peptides, preparation				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of HIV-1 protease inhibitor BMS-186318)				
IT	161302-40-5P, BMS-186318				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(HIV protease inhibitor combinations)				
IT	127779-20-8, RO 31-8959 134878-17-4, A-77003 143224-34-4, SC-52151				
	144141-97-9, A 80987 155213-67-5, ABT-538 157810-81-6, L-735524				

159989-64-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HIV protease inhibitor combinations)

IT 144114-21-6, Retropepsin

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(combinations with BMS-186318; HIV-1 protease inhibitor combinations)

IT 60398-41-6P 98737-29-2P 102123-74-0P 162536-40-5P

162536-83-6P 162536-84-7P 162536-85-8P 162536-99-4P 165727-45-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of BMS-186318; HIV protease inhibitor combinations)

IT 2130-96-3 13734-34-4 40299-87-4, 4-(Bromoacetyl)morpholine

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of BMS-186318; HIV-1 protease inhibitor combinations)

IT 162536-42-7P 175390-83-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of BMS-186318; HIV-1 protease inhibitor combinations)

L18 ANSWER 13 OF 14 CA COPYRIGHT 2003 ACS

AN 122:290438 CA

TI Preparation of diphenyl-substituted amino alcohols as protease inhibitors

IN Gordon, Eric M.; Barrish, Joel C.; Bisacchi, Gregory S.; Sun, Chong Qing; Tino, Joseph A.; Vite, Gregory D.; Zahler, Robert

PA Squibb, E. R., and Sons, Inc., USA

SO Eur. Pat. Appl., 393 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 580402	A2	19940126	EP 1993-305691	19930720
	EP 580402	A3	19970305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	US 5559256	A	19960924	US 1993-79978	19930625
	ZA 9305243	A	19940217	ZA 1993-5243	19930720
PRAI	US 1992-916916		19920720		
	US 1992-927027		19920806		
	US 1993-79978		19930625		
OS	MARPAT 122:290438				
AB	Novel amino alcs. [I; R, R1 = protecting group, substituent; R2 = H, substituent], useful in inhibiting retroviral protease, particularly useful in the treatment and/or prevention of HIV infection (AIDS), are prepd. A mixt. of 2:1 II/PhCH2NH2 was heated at 105-108.degree. under Ar to give 56% III, which was refluxed over 20% Pd(OH)2/C in EtOH-cyclohexene to give 69% I (R = R1 = Boc, R2 = H), which showed 100% inhibition of HIV protease at 10 .mu.M and IC50 of 0.09 .mu.M against HIV CEM cells.				
IC	ICM C07C271-20				
	ICS C07C271-34; C07C271-52; C07C233-78; C07C237-20; C07C237-10; C07C275-18; C07D207-09; C07D209-14; C07D213-40; C07D215-14				
ICA	C07D217-16; A61K031-16; A61K031-40; A61K031-44				
CC	25-7 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)				
	Section cross-reference(s): 1				
ST	iminobisphenylbutanol prepn protease inhibitor; amino alc diphenyl HIV virucide; AIDS prevention iminobisphenylbutanol prepn				
IT	Acquired immune deficiency syndrome				
	(prepn. of diphenyl-substituted amino alcs. as protease inhibitors)				
IT	Virus, animal				
	(human immunodeficiency, prepn. of diphenyl-substituted amino alcs. as protease inhibitors)				



IT	161302-38-1P	161302-39-2P	161302-40-5P	162536-52-9P	162537-01-1P
	162538-06-9P	162538-07-0P	162538-08-1P	162538-09-2P	162538-10-5P
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	162540-40-1P	162540-41-2P	162540-42-3P	162540-43-4P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diphenyl-substituted amino alcs. as protease inhibitors)

IT	162540-44-5P	162540-45-6P	162540-46-7P	162540-47-8P	162540-48-9P
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162678-29-7P	162678-31-1P	162678-33-3P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diphenyl-substituted amino alcs. as protease inhibitors)

IT 144114-21-6, Retropepsin

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(prepn. of diphenyl-substituted amino alcs. as protease inhibitors)

IT 70-25-7, 72-18-4, L-Valine, reactions 75-98-9, Trimethylacetic acid 76-83-5, Trityl chloride 77-76-9, 2,2-Dimethoxypropane 79-14-1, reactions 79-22-1, Methyl chloroformate 79-33-4, reactions 79-44-7, Dimethyl carbamyl chloride 83-33-0, 1-Indanone 91-62-3, 6-Methylquinoline 93-09-4, 2-Naphthoic acid 93-10-7, Quinaldic acid 95-13-6, Indene 95-54-5, o-Phenylenediamine, reactions 95-55-6, o-Aminophenol 96-49-1, Ethylene carbonate 98-59-9, Tosyl chloride 98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 100-44-7, Benzyl chloride, reactions 100-46-9, Benzyl amine, reactions 100-52-7, Benzaldehyde, reactions 100-55-0, 3-Pyridinemethanol 100-86-7, .alpha.,.alpha.-Dimethylphenethyl alcohol 102-14-7 103-74-2, 2-(2-Hydroxyethyl)pyridine 103-82-2, Phenylacetic acid, reactions 105-36-2, Ethyl bromoacetate 108-23-6, Isopropyl chloroformate 109-00-2, 3-Pyridinol 109-86-4, 2-Methoxyethanol 109-92-2 110-06-5, tert-Butyl disulfide 110-15-6, Succinic acid, reactions 110-91-8, Morpholine, reactions 111-42-2, Diethanolamine, reactions 119-67-5, 2-Carboxybenzaldehyde 122-59-8, Phenoxyacetic acid 122-99-6, 2-Phenoxyethanol 288-32-4, Imidazole, reactions 335-08-0 473-85-8 500-22-1, 3-Pyridinecarboxaldehyde 501-53-1, Benzyl chloroformate 534-03-2, 2-Amino-1,3-propanediol 539-74-2, Ethyl 3-bromopropionate 540-51-2, 2-Bromoethanol 541-47-9, 3,3-Dimethylacrylic acid 547-64-8, Methyl lactate 558-30-5, Isobutylene oxide 586-98-1, 2-Pyridylcarbinol 590-42-1, tert-Butylisothiocyanate 591-80-0, 4-Pentenoic acid 593-56-6, Methoxyamine hydrochloride 594-56-9, 2,3,3-Trimethyl-1-butene 594-61-6, 2-Hydroxyisobutyric acid 598-21-0, Bromoacetyl bromide 611-71-2, R-Mandelic acid 617-35-6, Ethyl pyruvate 617-94-7, Dimethylphenylcarbinol 622-08-2, 2-Benzylloxyethanol 622-40-2, 4-(2-Hydroxyethyl)morpholine 623-08-5, N-Methyl-p-toluidine 624-83-9, Methyl isocyanate 625-38-7, 3-Butenoic acid 627-18-9, 3-Bromo-1-propanol 630-19-3, Pivaldehyde 644-36-0, o-Tolylacetic acid 670-95-1, 4-Phenylimidazole 672-15-1, L-Homoserine 677-22-5, tert-Butyl magnesium chloride 687-47-8, S-Ethyl lactate 693-89-0, 1-Methylcyclopentene 697-63-2, (.+.-)-1-Indanol 775-06-4,

DL-Meta-tyrosine 815-17-8 821-09-0, 4-Penten-1-ol 830-96-6,  
 1H-Indole-3-propanoic acid 937-14-4, m-Chloroperbenzoic acid  
 1070-83-3, tert-Butylacetic acid 1120-87-2, 4-Bromopyridine 1122-62-9,  
 2-Acetylpyridine 1142-20-7, N-Benzyloxycarbonyl-L-alanine 1148-11-4,  
 N-Benzyloxycarbonyl-L-proline 1149-26-4, Cbz-L-valine 1161-13-3,  
 N-Benzyloxycarbonyl-L-phenylalanine 1462-03-9, 1-Methyl-1-cyclopentanol  
 1609-86-5, tert-Butylisocyanate 1685-33-2, N-Benzyloxycarbonyl-D-valine  
 1826-67-1, Vinyl magnesium bromide 2018-66-8, N-Benzyloxycarbonyl-L-  
 leucine 2130-96-3 2304-96-3 2976-75-2, (1-Naphthylthio)acetic acid  
 2987-16-8, 3,3-Dimethylbutyraldehyde 3173-56-6, Benzylisocyanate  
 3240-94-6, 4-(2-Chloroethyl)morpholine 3262-72-4, Boc-L-serine  
 3587-60-8, Benzyl chloromethyl ether 3587-64-2 3597-91-9,  
 4-Biphenylmethanol 3731-51-9, 2-Pyridinemethanamine 3966-30-1  
 4026-20-4, 2-Hydroxy-3,3-dimethylbutanoic acid 4530-20-5,  
 N-(tert-Butoxycarbonyl)glycine 4541-32-6, 2,2-Dimethylcyclopentanone  
 4835-90-9 4857-04-9, 2-Chloromethylbenzimidazole 5105-78-2  
 5333-74-4, Ethyl tert-butylglyoxylate 6278-91-7, 4-Benzyloxy-2-butanone  
 6306-52-1, L-Valine methyl ester hydrochloride 6829-40-9, Diethyl  
 aminomalonate 7326-19-4, D-Phenyllactic acid 7364-25-2, Indazolinone  
 7486-35-3, Vinyltributyltin 7525-64-6 7536-55-2 7693-46-1,  
 p-Nitrophenyl chloroformate 10147-11-2, 3-Phenyl-1-propyne 10326-41-7,  
 D-Lactic acid, reactions 13031-04-4 13139-16-7, N-tert-Butoxycarbonyl-  
 L-isoleucine 13570-08-6, 2-Benzimidazoleacetic acid 13734-34-4,  
 N-(tert-Butoxycarbonyl)-L-phenylalanine 13734-41-3, N-(tert-  
 Butoxycarbonyl)-L-valine 14347-78-5 15833-84-8 16520-62-0,  
 4-Phenyl-1-butyne 17191-44-5 17199-29-0, S-Mandelic acid 18162-48-6,  
 tert-Butyldimethylsilyl chloride 19575-07-6, Methyl quinaldate  
 19728-63-3, N-Benzyloxycarbonyl-L-threonine 20117-47-9,  
 1-Methyl-1-cyclobutanol 20160-60-5 20312-36-1 20412-38-8, Neopentyl  
 chloroformate 20662-89-9, 4-Phenyloxazole 20859-02-3, L-tert-Leucine  
 21641-92-9 22146-57-2 22323-82-6 24424-99-5, Di-tert-  
 butyldicarbonate 26782-71-8, D-tert-Leucine 28920-43-6,  
 9-Fluorenylmethyl chloroformate 28954-12-3, L-Allothreonine 30293-86-8  
 32366-02-2, N-Benzyl-N-methylcarbamoyl chloride 37595-74-7,  
 N-Phenyltriflimide 37736-82-6 37859-42-0, 2-Benzothiazolemethanol  
 40299-87-4 41242-94-8, 2-Quinoxalinemethanol 52373-72-5 53333-76-9,  
 1-Propanesulfonyl chloride, 2,2-dimethyl- 58632-95-4 58891-37-5,  
 1H-Indene-1-carboxylic acid, 2,3-dihydro-2-oxo-, ethyl ester, (+-)-  
 62965-10-0 65082-73-7 67478-50-6 68835-89-2, Di-tert-amyl  
 dicarbonate 69739-34-0 72756-22-0 80360-23-2, 1H-Indole-2-  
 carboxaldehyde, 1-phenylsulfonyl- 84709-85-3 84921-89-1, 3-Furanol,  
 tetrahydro-, (+-)- 85328-36-5 85613-64-5 85618-64-0 85951-09-3  
 85995-53-5 86087-23-2, 3-Furanol, tetrahydro-, (S)- 88425-01-8  
 91049-44-4, 1,2-Butanediol, 3,3-dimethyl-, (+-)- 91968-72-8  
 102229-10-7 106167-47-9 107202-43-7 109274-92-2,  
 Furo[2,3-b]pyridine-2-carboxaldehyde 112372-05-1, Furo[3,2-b]pyridine-2-  
 carboxaldehyde 112372-06-2, Furo[2,3-c]pyridine-2-carboxaldehyde  
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 162541-65-3 162541-67-5 162541-68-6 162541-69-7 162541-70-0  
 162541-71-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of diphenyl-substituted amino alcs. as protease inhibitors)

IT	162541-72-2	162541-73-3	162541-74-4	162541-75-5	162541-76-6
	162541-77-7	162541-78-8	162541-79-9	162541-80-2	162541-81-3
	162541-82-4	162541-83-5	162541-84-6	162541-85-7	162541-86-8

162541-87-9	162541-88-0	162541-89-1	162541-90-4	162541-91-5
162541-92-6	162541-93-7	162541-94-8	162541-95-9	162541-96-0
162541-97-1	162541-98-2	162541-99-3	162542-00-9	162542-01-0
162542-02-1	162542-03-2	162542-04-3	162542-05-4	162542-06-5
162542-07-6	162542-08-7	162542-09-8	162542-10-1	162542-11-2
162542-12-3	162678-21-9	162678-22-0	162678-24-2	162678-30-0
162678-32-2	162678-34-4	162678-36-6	162678-37-7	162678-38-8
162678-39-9	162776-40-1	162776-41-2	162870-63-5	

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of diphenyl-substituted amino alcs. as protease inhibitors)

IT 558-43-0P 587-33-7P 1184-93-6P 1191-31-7P 1780-17-2P,  
 2-Quinolinemethanol 1796-25-4P, 1-Bromoacetyl piperidine 2215-63-6P  
 2280-28-6P 2508-01-2P 2644-82-8P, 6-Chloromethylquinoline 2842-44-6P  
 2849-93-6P, 1H-Benzimidazole-2-carboxylic acid 3724-55-8P, Methyl  
 3-butenolate 4113-04-6P, 6-Quinolinecarboxaldehyde 4441-30-9P,  
 4-Morpholinepropanol 4856-97-7P, 1H-Benzimidazole-2-methanol  
 7467-35-8P 7748-36-9P, 3-Oxetanol 10593-35-8P 13737-35-4P  
 14440-98-3P 14598-96-0P 17450-34-9P, 1H-Imidazole-1-acetic acid, ethyl  
 ester 18096-68-9P, 1H-Indene-2-methanol 22455-69-2P 22929-52-8P,  
 Tetrahydrofuran-3-one 23249-97-0P, 1H-Benzimidazole-2-propanoic acid  
 24621-70-3P, 1H-Indole-2-methanol 25854-85-7P 25854-87-9P  
 31562-43-3P, tert-Butylsulfinyl chloride 35677-88-4P 37535-57-2P  
 39497-64-8P 41036-01-5P 42417-41-4P 42417-65-2P,  
 N-Benzyloxycarbonyl-N-methyl-L-valine 50531-59-4P 51110-97-5P,  
 2-Benzoxazolepropanol 53346-03-5P 57443-39-7P 59524-02-6P  
 60041-31-8P 60398-41-6P 66866-64-6P 67706-63-2P 71214-82-9P  
 71264-44-3P 73282-11-8P 77186-95-9P, Benzoxazole-2-methanol  
 78737-68-5P 86096-65-3P 90819-30-0P 94882-74-3P 95585-33-4P  
 98737-29-2P 98760-08-8P 98997-01-4P 99206-24-3P 100516-88-9P,  
 6-Quinolinemethanol 102123-74-0P 102152-03-4P 102831-44-7P  
 106513-42-2P 108957-20-6P 113247-51-1P 114715-77-4P 115916-75-1P  
 124667-61-4P 127382-65-4P 134807-06-0P 134807-20-8P 134807-28-6P  
 138432-95-8P 143688-65-7P 144186-00-5P 144731-95-3P 144825-44-5P  
 149357-61-9P 153291-20-4P 160081-16-3P 162125-34-0P  
**162536-40-5P** 162536-41-6P 162536-42-7P 162536-43-8P  
 162536-44-9P 162536-45-0P 162536-46-1P 162536-47-2P 162536-48-3P  
 162536-49-4P 162536-50-7P 162536-51-8P 162536-53-0P 162536-54-1P  
 162536-55-2P 162536-56-3P 162536-57-4P 162536-58-5P 162536-59-6P  
 162536-60-9P 162536-61-0P 162536-62-1P 162536-63-2P 162536-64-3P  
 162536-65-4P 162536-66-5P 162536-67-6P 162536-68-7P 162536-69-8P  
 162536-70-1P 162536-71-2P 162536-72-3P 162536-73-4P 162536-74-5P  
 162536-75-6P 162536-76-7P 162536-77-8P 162536-78-9P 162536-79-0P  
 162536-80-3P 162536-81-4P 162536-82-5P 162536-83-6P 162536-84-7P  
 162536-85-8P 162536-86-9P 162536-87-0P 162536-88-1P 162536-89-2P  
 162536-90-5P 162536-91-6P 162536-92-7P 162536-93-8P 162536-94-9P  
 162536-95-0P 162536-96-1P 162536-97-2P 162536-98-3P 162536-99-4P  
 162537-00-0P 162537-02-2P 162537-03-3P 162537-04-4P 162537-05-5P  
 162537-06-6P 162537-07-7P 162537-08-8P 162537-09-9P 162537-10-2P  
 162537-11-3P 162537-12-4P 162537-13-5P 162537-14-6P 162537-15-7P  
 162537-16-8P 162537-17-9P 162537-18-0P 162537-19-1P 162537-20-4P  
 162537-21-5P 162537-22-6P 162537-23-7P 162537-24-8P 162537-25-9P  
 162537-26-0P 162537-27-1P 162537-28-2P 162537-29-3P 162537-30-6P  
 162537-31-7P 162537-32-8P 162537-33-9P 162537-34-0P 162537-35-1P  
 162537-36-2P 162537-37-3P 162537-38-4P 162537-39-5P 162537-40-8P  
 162537-41-9P 162537-42-0P 162537-43-1P 162537-44-2P 162537-45-3P  
 162537-46-4P 162537-47-5P 162537-48-6P 162537-49-7P 162537-50-0P  
 162537-51-1P 162537-52-2P 162537-53-3P 162537-54-4P 162537-55-5P  
 162537-56-6P 162537-57-7P 162537-58-8P 162537-59-9P 162537-60-2P  
 162537-61-3P, Furo[3,2-b]pyridine-2-methanol 162537-62-4P 162537-63-5P  
 162537-64-6P 162537-65-7P 162537-66-8P 162537-67-9P 162537-68-0P  
 162537-69-1P 162537-70-4P 162537-71-5P 162537-72-6P,  
 Furo[2,3-c]pyridine-2-methanol 162537-73-7P 162537-74-8P  
 162537-75-9P 162537-76-0P 162537-77-1P 162537-78-2P 162537-79-3P  
 162537-80-6P 162537-81-7P 162537-82-8P, Furo[2,3-b]pyridine-2-methanol

162537-83-9P 162537-84-0P 162537-85-1P 162537-86-2P 162537-87-3P  
 162537-88-4P 162537-89-5P 162537-90-8P 162537-91-9P 162537-92-0P  
 162537-93-1P 162537-94-2P 162537-95-3P 162537-96-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(prepn. of diphenyl-substituted amino alcs. as protease inhibitors)

IT 162537-97-5P 162537-98-6P 162537-99-7P 162538-00-3P 162538-01-4P  
 162538-02-5P 162538-03-6P 162538-04-7P 162538-05-8P 162541-25-5P  
 162541-26-6P 162541-27-7P 162541-38-0P 162541-52-8P 162541-66-4P  
 162677-20-5P 162677-21-6P 162677-22-7P 162677-23-8P 162677-24-9P  
 162677-25-0P 162677-26-1P 162677-27-2P 162677-28-3P 162677-29-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(prepn. of diphenyl-substituted amino alcs. as protease inhibitors)

L18 ANSWER 14 OF 14 CA COPYRIGHT 2003 ACS

AN 106:2196 CA

TI The synthesis of peptidylfluoromethanes and their properties as inhibitors  
 of serine proteinases and cysteine proteinases

AU Rauber, Peter; Angliker, Herbert; Walker, Brian; Shaw, Elliott

CS Friedrich Miescher-Inst., Basel, CH-4002, Switz.

SO Biochemical Journal (1986), 239(3), 633-40

CODEN: BIJOAK; ISSN: 0306-3275

DT Journal

LA English

AB A synthesis of peptidylfluoromethanes is described that utilizes the  
 conversion of phthaloyl amino acids into their fluoromethane derivs.  
 These can be deblocked and elongated. The inactivation of chymotrypsin by  
 benzyloxycarbonylphenylalanyl fluoromethane (Cbz-Phe-CH<sub>2</sub>F) was found to be  
 considerably slower than that of the analogous chloromethane. The  
 fluoromethane analog inactivated chymotrypsin with an overall rate const.  
 that was 2% of that obsd. for the inactivation of the enzyme with the  
 chloromethane. However, the result was the same. The reagent complexed  
 in a substrate-like manner, with a K<sub>i</sub> of 1.4 .times. 10<sup>-4</sup> M, and alkylated  
 the active center histidine residue. Cbz-Phe-Phe-CH<sub>2</sub>F and  
 Cbz-Phe-Ala-CH<sub>2</sub>F were investigated as inactivators f the cysteine  
 proteinase, cathepsin B. The difference in reactivity between  
 fluoromethyl ketones and chloromethyl ketones was less pronounced in the  
 case of the cysteine proteinase than for the serine proteinase. Covalent  
 bond formation took place in this case also, as demonstrated by the use of  
 a radiolabeled reagent.

CC 7-3 (Enzymes)

Section cross-reference(s): 34

ST peptidyl fluoromethane prepn proteinase inhibition; serine proteinase  
 inhibition peptidyl fluoromethane; cysteine proteinase inhibition peptidyl  
 fluoromethane; cathepsin B inhibition peptidyl fluoromethane; chymotrypsin  
 inhibition peptidyl fluoromethane

IT Peptides, compounds

RL: SPN (Synthetic preparation); PREP (Preparation)

(fluoromethane analogs, prepn. and cathepsin B and chymotrypsin  
 inhibition by)

IT Affinity

(labeling, of cathepsin B and chymotrypsin by peptidylfluoromethanes)

IT Kinetics, enzymic

(of inhibition, of cathepsin B and chymotrypsin)

IT Molecular structure-biological activity relationship

(cysteine proteinase-inhibiting, of peptidylfluoromethanes)

IT Molecular structure-biological activity relationship

(serine proteinase-inhibiting, of peptidylfluoromethanes)

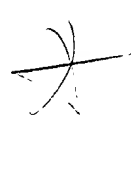
IT 90302-94-6

RL: BIOL (Biological study)

(cathepsin B inhibition by, kinetics of)

IT 1161-13-3, Benzyloxycarbonylphenylalanine

RL: RCT (Reactant); RACT (Reactant or reagent)

*orbeck* 

(coupling of, with amino acid fluoro derivs.)

IT 71-00-1, biological studies  
RL: BIOL (Biological study)  
(in chymotrypsin active site, chem. modification of)

IT 37259-58-8 37353-41-6  
RL: PROC (Process)  
(inhibition of, by peptidylfluoromethanes)

IT 9004-07-3 9047-22-7  
RL: PROC (Process)  
(inhibition of, by peptidylfluoromethanes, kinetics of)

IT 105608-85-3P 105637-38-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and cathepsin B inhibition by)

IT 105608-84-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and chymotrypsin inhibition by)

IT 105608-83-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and chymotrypsin inhibition kinetics with)

IT 105608-76-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and conversion to aminofluorophenylbutanol)

IT 105608-79-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and conversion to benzyloxycarbonylphenylalanylfluoromethane)

IT 105608-80-8P 105608-81-9P 105608-82-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and dehydrogenation of)

IT 105608-77-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and deprotection and subsequent coupling with  
benzyloxycarbonylphenylalanine)

IT 105608-78-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and reaction with benzyl chloroformate)

IT 105608-86-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and reaction with chymotrypsin)

IT 28116-94-1P 67919-81-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and reaction with hydrofluoric acid)

IT 7664-39-3, Hydrogen fluoride, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with amino acid diazomethane phthaloyl derivs.)

IT 24424-99-5, Di-tert-butyl dicarbonate  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with aminofluorophenylbutanol)

IT 4192-28-3 5123-55-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with diazomethane)

IT 334-88-3, Diazomethane  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with phthaloylalanine and phthaloylphenylalanine)

=>

=> d bib ab 1-8

L20 ANSWER 1 OF 8 USPATFULL  
AN 2002:273590 USPATFULL  
TI Production method of beta-amino-alpha-hydroxycarboxylic acid  
IN Otake, Yasuyuki, Kawasaki-shi, JAPAN  
Onishi, Tomoyuki, Kawasaki-shi, JAPAN  
Oka, Sachiko, Kawasaki-shi, JAPAN  
Takahashi, Daisuke, Kawasaki-shi, JAPAN  
PA AJINOMOTO CO. INC, Tokyo, JAPAN (non-U.S. corporation)  
PI US 2002151722 A1 20021017  
AI US 2002-118958 A1 20020410 (10)  
PRAI JP 2001-113050 20010411  
JP 2001-146783 20010516 *-npa*  
DT Utility  
FS APPLICATION  
LREP OBLON SPIVAK MCCLELLAND MAIER & NEUSTADT PC, FOURTH FLOOR, 1755  
JEFFERSON DAVIS HIGHWAY, ARLINGTON, VA, 22202  
CLMN Number of Claims: 5  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 853

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a production method of an optically active .beta.-amino-.alpha.-hydroxycarboxylic acid, which includes the following steps (a)-(c):

(a) treating an optically active N-carbamate protected .beta.-amino epoxide with an acid to give an optically active 5-hydroxymethyl-2-oxazolidinone;

(b) oxidizing the resulting compound in the presence of 2,2,6,6-tetramethyl-1-piperidinyloxy and hypochlorite to give an optically active 4-benzyl-2-oxo-5-oxazolidinecarboxylic acid; and

(c) treating the 4-benzyl-2-oxo-5-oxazolidinecarboxylic acid with a base, and a production method of an optically active N-carbamate protected .beta.-amino-.alpha.-hydroxycarboxylic acid which includes protection of the amino group with a carbamate type protecting group. The industrial production method of the present invention can produce these compounds efficiently.

L20 ANSWER 2 OF 8 USPATFULL  
AN 2002:165380 USPATFULL  
TI Production method of epoxide crystal  
IN Suzuki, Yuichi, Kawasaki-shi, JAPAN  
Hirose, Naoko, Kawasaki-shi, JAPAN  
Onishi, Tomoyuki, Kawasaki-shi, JAPAN  
Izawa, Kunisuke, Kawasaki-shi, JAPAN  
PA AJINOMOTO CO., INC., Tokyo, JAPAN (non-U.S. corporation)  
PI US 2002087014 A1 20020704  
AI US 2001-11304 A1 20011211 (10)  
PRAI JP 2000-377804 20001212  
JP 2001-51108 20010226 *NPA*  
DT Utility  
FS APPLICATION  
LREP OBLON SPIVAK MCCLELLAND MAIER & NEUSTADT PC, FOURTH FLOOR, 1755  
JEFFERSON DAVIS HIGHWAY, ARLINGTON, VA, 22202  
CLMN Number of Claims: 16  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 510  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a production method including adding water to a solution of (2R,3S)-3-tert-butoxycarbonylamino-1,2-epoxy-4-phenylbutane ((2R,3S)-epoxide compound) or (2S,3R)-3-tert-butoxycarbonylamino-1,2-epoxy-4-phenylbutane ((2S,3R)-epoxide compound) in a polar solvent to allow crystallization, whereby to produce crystals of the (2R,3S)-epoxide compound or the (2S,3R)-epoxide compound conveniently in a high yield by an industrial production method without requiring an extremely low temperature.

L20 ANSWER 3 OF 8 USPTAFULL

AN 2002:141633 USPTAFULL

TI Method for producing epoxide crystal

IN Onishi, Tomoyuki, Kawasaki-shi, JAPAN

Hirose, Naoko, Kawasaki-shi, JAPAN

Otake, Yasuyuki, Kawasaki-shi, JAPAN

Nakano, Takashi, Kawasaki-shi, JAPAN

Honda, Yutaka, Kawasaki-shi, JAPAN

Nakazawa, Masakazu, Kawasaki-shi, JAPAN

Izawa, Kunisuke, Kawasaki-shi, JAPAN

PA Ajinomoto Co., Inc., Chuo-ku, JAPAN (non-U.S. corporation)

PI US 2002072621 A1 20020613

AI US 2001-973191 A1 20011010 (9)

RLI Continuation of Ser. No. US 2000-652679, filed on 31 Aug 2000, ABANDONED

PRAI JP 1999-245645 19990831

JP 2000-35074 20000214

JP 2000-82895 20000323

JP 2000-199234 20000630

DT Utility

FS APPLICATION

LREP OBLON SPIVAK MCCLELLAND MAIER & NEUSTADT PC, FOURTH FLOOR, 1755

JEFFERSON DAVIS HIGHWAY, ARLINGTON, VA, 22202

CLMN Number of Claims: 33

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1650

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a method for industrially producing highly pure (2R, 3S)- or (2S, 3R)-N-carbamate-protected .beta.-aminoepoxide (crystal) or (2R, 3S)- or (2S, 3R)-N-carbamate-protected .beta.-aminoalcohol. The method for producing N-carbamate-protected .beta.-aminoepoxide crystal, includes one or more of the following steps (a) to (d):

(a) dissolving (2R, 3S)- or (2S, 3R)-N-carbamate-protected .beta.-aminoalcohol containing at least the diastereomer as an impurity in a solvent including at least one or more selected from aromatic hydrocarbon solvent, saturated hydrocarbon solvent, aqueous mixture solvent, acetone and 2-propanol, to remove insoluble matters;

(b) treating the (2R, 3S)- or (2S, 3R)-N-carbamate-protected .beta.-aminoalcohol with a base, thereby converting the N-carbamate-protected .beta.-aminoalcohol to (2R, 3S)- or (2S, 3R)-N-carbamate-protected .beta.-aminoepoxide;

(c) treating the (2R, 3S)- or (2S, 3R)-N-carbamate-protected .beta.-aminoepoxide containing at least the diastereomer as an impurity with an acid, thereby converting the diastereomer as an impurity to (4S, 5R) or (4R, 5S) oxazolidin-2-one derivative, and optionally separating and removing the resulting oxazolidin-2-one derivative in water or an aqueous mixture solvent; and

(d) crystallizing the (2R, 3S)- or (2S, 3R)-N-carbamate-protected .beta.-aminoepoxide in a mixture solvent of water and water-miscible organic solvent. By the methods of the present invention, highly pure

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(2R, 3S)- or (2S, 3R)-N-carbamate-protected .beta.-aminoepoxide or (2R, 3S) or (2S, 3R)-N-carbamate-protected .beta.-aminoalcohol can be efficiently produced.

L20 ANSWER 4 OF 8 USPATFULL  
AN 2002:24387 USPATFULL  
TI Processes for the preparation of threo-1,2-epoxy-3-amino-4-phenylbutane derivatives  
IN Maehara, Katsuji, Kobe, JAPAN  
Tokuda, Yukinori, Kakogawa, JAPAN  
Murao, Hiroshi, Takasago, JAPAN  
Ueda, Yasuyoshi, Himeji, JAPAN  
PA Kaneka Corporation, Osaka, JAPAN (non-U.S. corporation)  
PI US 6344572 B1 20020205  
WO 2000044736 20000803  
AI US 2000-647340 20001117 (9)  
WO 2000-JP495 20000131  
20001117 PCT 371 date  
PRAI JP 1999-21640 19990129  
JP 1999-239720 19990826  
DT Utility  
FS GRANTED  
EXNAM Primary Examiner: Davis, Zinna Northington  
LREP Connolly Bove Lodge & Hutz  
CLMN Number of Claims: 42  
ECL Exemplary Claim: 1  
DRWN 1 Drawing Figure(s); 1 Drawing Page(s)  
LN.CNT 867

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a production method of high quality threo-1,2-epoxy-3-amino-4-phenylbutane derivatives (1) on a commercial scale in a simple, easy and efficient manner and with very high productivity,

which comprises treating a threo-1-halo-2-hydroxy-3-amino-4-phenylbutane derivative (2) with a base in a polar organic solvent or a solvent composed of a polar organic solvent and water,

and adding the resulting reaction mixture to water to thereby cause the resulting threo-1,2-epoxy-3-amino-4-phenylbutane derivative (1) to crystallize out.

L20 ANSWER 5 OF 8 USPATFULL  
AN 2000:157616 USPATFULL  
TI Process for the reduction of carbonyl compounds  
IN Sugawa, Tadashi, Akashi, Japan  
Moroshima, Tadashi, Kakogawa, Japan  
Inoue, Kenji, Kakogawa, Japan  
Kan, Kazunori, Nishinomiya, Japan  
PA Kaneka Corporation, Osaka, Japan (non-U.S. corporation)  
PI US 6150567 20001121  
WO 9728105 19970807  
AI US 1997-930011 19971229 (8)  
WO 1997-JP189 19970129  
19971229 PCT 371 date  
19971229 PCT 102(e) date  
PRAI JP 1996-35632 19960129  
JP 1996-37256 19960130  
JP 1996-110317 19960404  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Padmanabhan, Sreeni  
LREP Pollock, Vande Sande & Amernick  
CLMN Number of Claims: 32



ECL Exemplary Claim: 1  
DRWN 10 Drawing Figure(s); 10 Drawing Page(s)  
LN.CNT 1109

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a process for reducing carbonyl compounds to hydroxy compounds, in particular stereoselectively reducing .alpha.-aminohaloketone derivatives, under mild conditions in an easy and simple manner, which comprises reacting a carbonyl compound of the general formula (1) with an organoaluminum compound of the general formula (4) to provide the corresponding alcohol compound of the general formula (5). ##STR1##

L20 ANSWER 6 OF 8 USPATFULL

AN 1998:79173 USPATFULL

TI Method of inhibiting protease

IN Gordon, Eric M., Palo Alto, CA, United States  
Barrish, Joel C., Holland, PA, United States  
Bisacchi, Gregory S., Lawrenceville, NJ, United States  
Sun, Chong-Qing, East Windsor, NJ, United States  
Tino, Joseph A., Lawrenceville, NJ, United States  
Vite, Gregory D., Trenton, NJ, United States  
Zahler, Robert, Pennington, NJ, United States

PA E. R. Squibb & Sons, Inc., Princeton, NJ, United States (U.S. corporation)

PI US 5776933 19980707

AI US 1995-456125 19950531 (8)

RLI Division of Ser. No. US 1993-79978, filed on 25 Jun 1993, now patented, Pat. No. US 5559256 which is a continuation-in-part of Ser. No. US 1992-927027, filed on 6 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-916916, filed on 20 Jul 1992, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Ramsuet, Robert W.

LREP Babajko, Suzanne E.

CLMN Number of Claims: 15

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 11208

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel aminediol compounds, pharmaceutical compositions containing these compounds, and methods of using these compounds in inhibiting retroviral protease, particularly useful in the treatment and/or prevention of HIV infection (AIDS).

L20 ANSWER 7 OF 8 USPATFULL

AN 1998:61651 USPATFULL

TI Aminediol protease inhibitors

IN Gordon, Eric M., Palo Alto, CA, United States  
Barrish, Joel C., Holland, PA, United States  
Bisacchi, Gregory S., Lawrenceville, NJ, United States  
Sun, Chong-Qing, East Windsor, NJ, United States  
Tino, Joseph A., Lawrenceville, NJ, United States  
Vite, Gregory D., Trenton, NJ, United States  
Zahler, Robert, Pennington, NJ, United States

PA E. R. Squibb & Sons, Inc., Princeton, NJ, United States (U.S. corporation)

PI US 5760036 19980602

AI ~~US 1995-455295~~ 19950531 (8)

RLI Division of Ser. No. US 1993-79978, filed on 25 Jun 1993, now patented, Pat. No. US 5559256 which is a continuation-in-part of Ser. No. US 1992-927027, filed on 6 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-916916, filed on 20 Jul 1992, now abandoned

DT Utility  
FS Granted  
EXNAM Primary Examiner: Ramsuer, Robert W.  
LREP Babajko, Suzanne E.  
CLMN Number of Claims: 16  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 11326

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel aminediol compounds, pharmaceutical compositions containing these compounds, and methods of using these compounds in inhibiting retroviral protease, particularly useful in the treatment and/or prevention of HIV infection (AIDS).

L20 ANSWER 8 OF 8 USPATFULL

AN 96:87744 USPATFULL

TI Aminediol protease inhibitors

IN Gordon, Eric M., Palo Alto, CA, United States  
Barrish, Joel C., Holland, PA, United States  
Bisacchi, Gregory S., Lawrenceville, NJ, United States  
Sun, Chong-Qing, East Windsor, NJ, United States  
Tino, Joseph A., Lawrenceville, NJ, United States  
Vite, Gregory D., Trenton, NJ, United States  
Zahler, Robert, Pennington, NJ, United States

PA E. R. Squibb & Sons, Inc., Princeton, NJ, United States (U.S. corporation)

PI US 5559256 19960924

AI US 1993-79978 19930625 (8)

RLI Continuation-in-part of Ser. No. US 1992-927027, filed on 6 Aug 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-916916, filed on 20 Jul 1992, now abandoned

DT Utility

FS Granted

EXNAM Primary Examiner: Wu, Shean C.; Assistant Examiner: Scalzo, Catherine

LREP Babajko, Suzanne E.

CLMN Number of Claims: 18

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 11978

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel aminediol compounds, pharmaceutical compositions containing these compounds, and methods of using these compounds in inhibiting retroviral protease, particularly useful in the treatment and/or prevention of HIV infection (AIDS).

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=> d ti

L1 ANSWER 1 OF 1 CA COPYRIGHT 2003 ACS  
TI Method for purifying and isolating (2S,3S)- or (2R,3S)-halohydrin derivatives by crystallization

=> d ind

L1 ANSWER 1 OF 1 CA COPYRIGHT 2003 ACS  
IC ICM C07C269-08  
ICS C07C271-16; C12P013-02; C12P013-02; C12R001-72; C12P013-02;  
C12R001-84; C12P013-02; C12R001-645; C07M007-00  
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
ST halohydroxybutoxycarbonylaminophenylbutane purifn isolation; crystn  
halohydroxybutoxycarbonylaminophenylbutane  
IT Crystallization  
(fractional; purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)  
IT Candida  
Pichia  
Rhodotorula  
(microbial stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-butyl)amino)-4-phenylbutane to (2R,3S)- or (2S,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane)  
IT Citeromyces  
Cryptococcus (fungus)  
Debaryomyces  
Debaryomyces robertsiae  
Lipomyces  
Ogataea  
Rhodosporidium  
Saccharomycopsis  
Williopsis  
Wingea  
(microbial stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-butyl)amino)-4-phenylbutane to (2R,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane)  
IT Botryosacculus  
Geotrichum  
Metschnikowia  
Pachysolen (fungus)  
Trichosporon  
(microbial stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-butyl)amino)-4-phenylbutane to (2S,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane)  
IT Aromatic hydrocarbons, uses  
Hydrocarbons, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)  
IT 162536-40-5P  
RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation)  
(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)  
IT 98737-29-2P 98760-68-8P  
RL: BYP (Byproduct); REM (Removal or disposal); PREP (Preparation); PROC (Process)  
(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)  
IT 165727-45-7P

*must*

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)

IT 102123-74-0

RL: RCT (Reactant); REM (Removal or disposal); PROC (Process); RACT (Reactant or reagent)

(purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)

IT 71-43-2, Benzene, uses 100-41-4, Ethylbenzene, uses 108-87-2, Methylcyclohexane 108-88-3, Toluene, uses 109-66-0, Pentane, uses 110-54-3, Hexane, uses 142-82-5, Heptane, uses 1330-20-7, Xylene, uses

RL: NUU (Other use, unclassified); USES (Uses)

(solvent; purifying and isolating (2S,3S)-or (2R,3S)-1-halo-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutanes derivs. by fractional crystn.)

IT 1191-15-7, Diisobutylaluminum hydride

RL: RCT (Reactant); RACT (Reactant or reagent)

(stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-butyl)amino)-4-phenylbutane to (2R,3S)- or (2S,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane)

IT 13762-51-1, Potassium borohydride 16853-85-3, Lithium aluminum hydride 16883-45-7, Tetramethylammonium borohydride 16940-66-2, Sodium borohydride 22722-98-1, Sodium bis(2-methoxyethoxy)aluminum hydride

RL: RCT (Reactant); RACT (Reactant or reagent)

(stereoselective redn. of (3S)-1-chloro-2-oxo-3-((tert-butyl)amino)-4-phenylbutane to (2S,3S)-1-chloro-2-hydroxy-3-N-(tert-butoxycarbonyl)amino-4-phenylbutane)

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